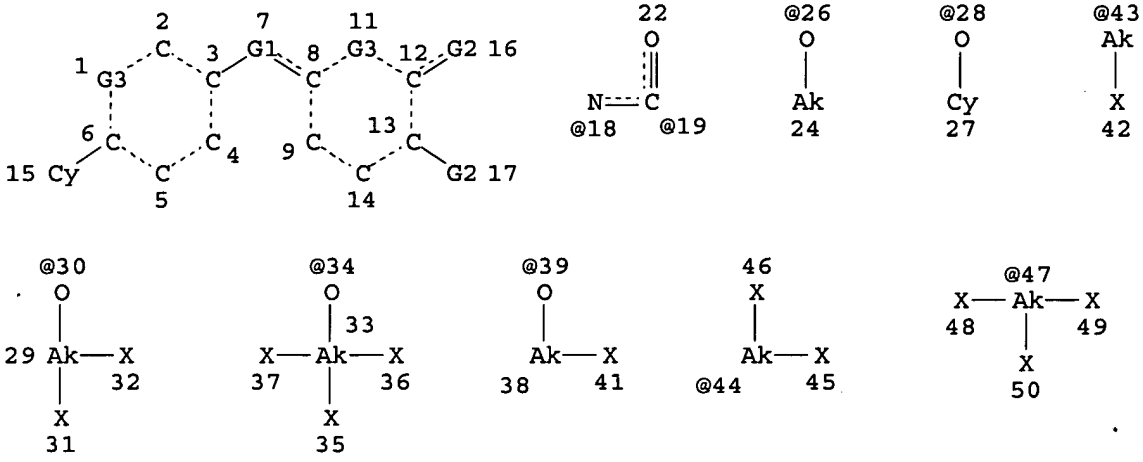
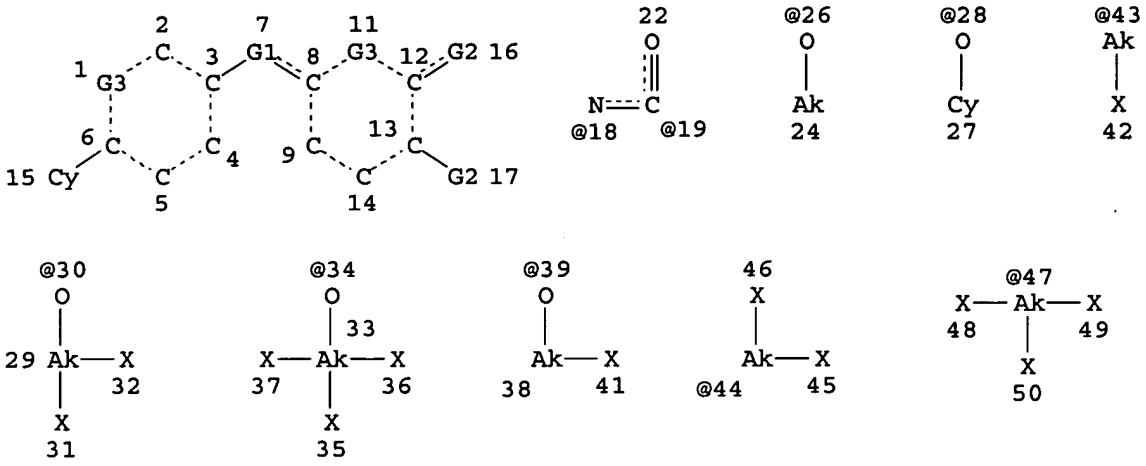
```
=> fil reg
FILE 'REGISTRY' ENTERED AT 16:32:08 ON 04 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     3 JUN 2004  HIGHEST RN 689216-09-9
DICTIONARY FILE UPDATES:    3 JUN 2004  HIGHEST RN 689216-09-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que l50
L1                  STR
```



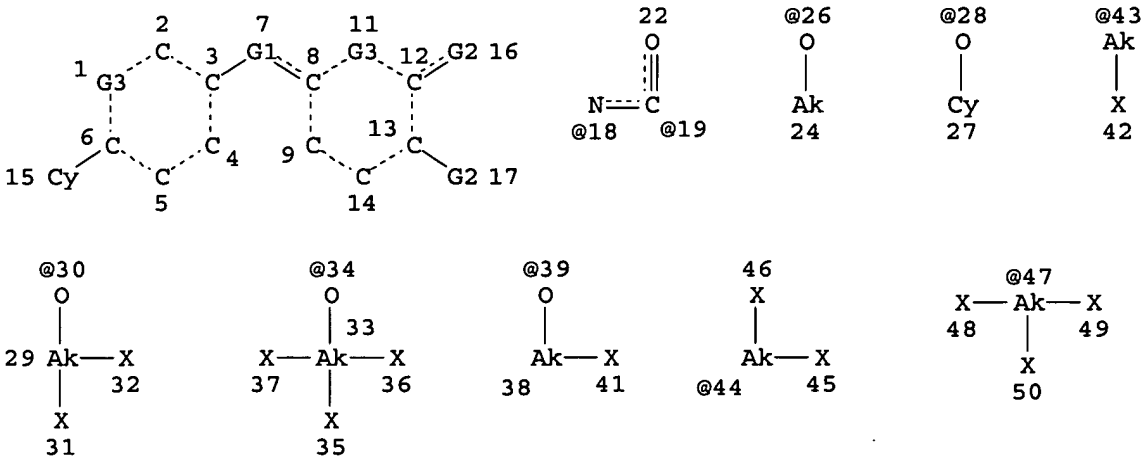

```
VAR G1=18-3 19-8/19-3 18-8
VAR G2=H/26/AK/28/39/30/34/43/44/47/X/HY
VAR G3=C/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   4   8
NUMBER OF NODES IS  44

STEREO ATTRIBUTES: NONE
L3                  SCR 1840 AND 1199 AND 1868
L4                  SCR 2043 OR 2039 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 O
R 2051 OR 2054
L7          15593 SEA FILE=REGISTRY SSS FUL L1 AND L3 NOT L4
L33                 STR
```



VAR G1=18-3 19-8/19-3 18-8
VAR G2=H/26/AK/28/39/30/34/43/44/47/X/HY
VAR G3=C/N
NODE ATTRIBUTES:
CONNECT IS M1 RC AT 18
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 4 8
NUMBER OF NODES IS 44

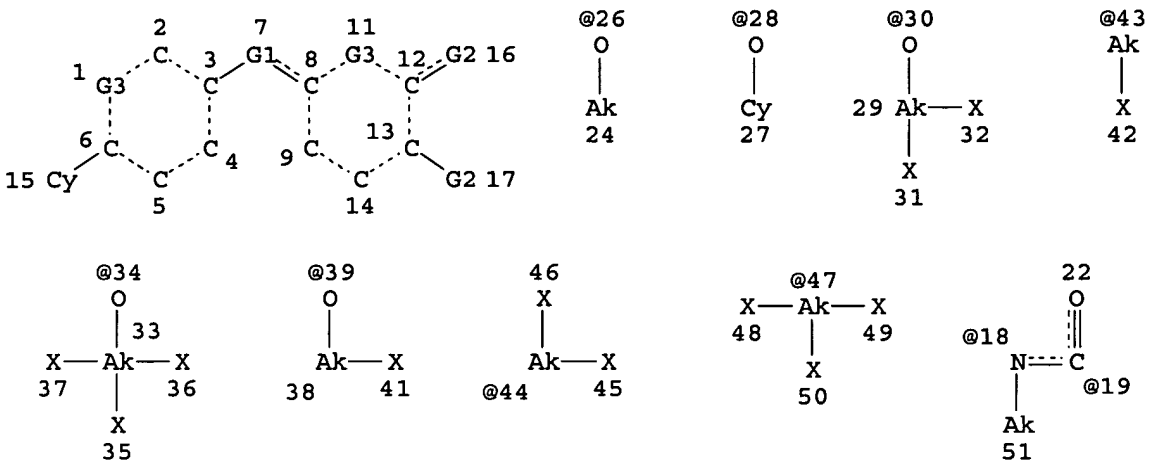
STEREO ATTRIBUTES: NONE
L35 656 SEA FILE=REGISTRY SUB=L7 CSS FUL L33
L36 STR



VAR G1=18-3 19-8/19-3 18-8
VAR G2=H/26/AK/28/39/30/34/43/44/47/X/HY
VAR G3=C/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 4 8
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L37 521 SEA FILE=REGISTRY SUB=L35 CSS FUL L36
L38 STR



VAR G1=18-3 19-8/19-3 18-8
VAR G2=H/26/AK/28/39/30/34/43/44/47/X/HY
VAR G3=C/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 4 8
NUMBER OF NODES IS 45

STEREO ATTRIBUTES: NONE
L39 10 SEA FILE=REGISTRY SUB=L35 CSS FUL L38
L40 531 SEA FILE=REGISTRY ABB=ON PLU=ON (L37 OR L39)
L47 524 SEA FILE=REGISTRY ABB=ON PLU=ON L40 AND 1/NC
L48 7 SEA FILE=REGISTRY ABB=ON PLU=ON L40 NOT L47
L49 6 SEA FILE=REGISTRY ABB=ON PLU=ON L48 NOT IUM
L50 530 SEA FILE=REGISTRY ABB=ON PLU=ON (L47 OR L49)

=> d his

(FILE 'HOME' ENTERED AT 15:54:03 ON 04 JUN 2004)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 15:54:11 ON 04 JUN 2004
L1 STR
L2 0 S L1 CSS SAM
L3 SCR 1840 AND 1199 AND 1868
L4 SCR 2043 OR 2039 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 205
L5 0 S L1 AND L3 NOT L4 CSS SAM
L6 40 S L1 AND L3 NOT L4 SAM
L7 15593 S L1 AND L3 NOT L4 FUL

FILE 'HCAPLUS' ENTERED AT 16:06:41 ON 04 JUN 2004
E LEE C/AU
L8 418 S E3
E LEE C H/AU
L9 855 S E3
E LEE CHIH/AU
L10 37 S E14
E KOENIG J/AU

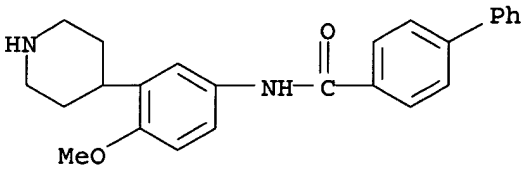
```
L11          125 S E3,E17
                 E KOENIG JOHN/AU
L12           18 S E3,E7
                 E KONIG J/AU
L13          163 S E3
                 E BROWN B/AU
L14          110 S E3,E27-E29
                 E BROWN BRIAN/AU
L15           23 S E3,E16,E17
                 E ABBOT/PA,CS
L16          143 S E3,E4
                 E ABBOTT/PA,CS
L17         8398 S E3,E4
L18         1905 S L7
L19           14 S L8-L17 AND L18
L20          857 S VANILLOID(L)RECEPTOR
L21            3 S VANILLOID(L)RECEPTOR(L)S1
L22          526 S VANILLOID(L)RECEPTOR(L)1
L23           82 S VANILLOID(L)RECEPTOR(L)SUBTYPE(L)1
L24          617 S VR1
L25            0 S L18 AND L20-L24
L26            9 S L8-L17 AND L20-L24
                 E CAPSAICIN/CT
L27          202 S E5
                 E E4+ALL
L28          772 S E14,E13
                 E CAPSAICIN/CT
L29          716 S E4-E6
L30            9 S L8-L17 AND L27-L29
L31            0 S L18 AND L27-L29
L32           10 S L26,L30
                 SEL RN

     FILE 'REGISTRY' ENTERED AT 16:16:29 ON 04 JUN 2004

     FILE 'HCAPLUS' ENTERED AT 16:18:53 ON 04 JUN 2004

     FILE 'REGISTRY' ENTERED AT 16:19:35 ON 04 JUN 2004
L33              STR L1
L34           35 S L33 CSS SAM SUB=L7
L35          656 S L33 CSS FUL SUB=L7
                 SAV L35 ZINNA687/A
L36              STR L33
L37          521 S L36 CSS FUL SUB=L35
                 SAV L37 ZINNA687A/A
L38              STR L36
L39           10 S L38 CSS FUL SUB=L35
                 SAV L39 ZINNA687B/A
L40          531 S L37,L39
L41           74 S L40 AND 46.150.18/RID AND NC5/ES
L42           40 S L41 AND 46.156.30/RID
L43           16 S L40 AND DIMETHYLETHYL
L44          485 S L7 AND (46.150.18 AND 46.156.30)/RID AND 3/NR
L45           24 S L44 AND DIMETHYLETHYL
L46            0 S L7 AND C16H18N2O
                 SAV L40 ZINNA687C/A
L47          524 S L40 AND 1/NC
L48            7 S L40 NOT L47
L49            6 S L48 NOT IUM
L50          530 S L47,L49

     FILE 'HCAOLD' ENTERED AT 16:27:41 ON 04 JUN 2004
L51            9 S L50
```

```
     FILE 'HCAPLUS' ENTERED AT 16:28:05 ON 04 JUN 2004
L52           98 S L50
L53            1 S L52 AND L8-L17
L54            0 S L52 AND L20-L24,L27-L29
L55           98 S L52 AND (PD<=20031016 OR PRD<=20031016 OR AD<=20031016)
L56           33 S L50 (L) BIOL+NT/RL
L57           44 S L50 AND (PHARMACEUT? OR PHARMACOL? OR IMMUN? OR PATHOL?)/SC,S
L58           46 S L56,L57
L59           42 S L55 AND P/DT
L60           31 S L58 AND L59
L61           32 S L53,L60
L62           15 S L58 NOT L61
L63           40 S L55 NOT L58-L62

     FILE 'REGISTRY' ENTERED AT 16:32:08 ON 04 JUN 2004

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 16:32:19 ON 04 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
the American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 4 Jun 2004  VOL 140 ISS 24
FILE LAST UPDATED: 3 Jun 2004  (20040603/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> => d l61 bib abs hitstr retable tot

L61  ANSWER 1 OF 32  HCAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:101130  HCAPLUS
DN   140:145898
TI   Preparation of benzanilides as modulators of the chemokine CCR5 receptor
IN   Bondinell, William E.; Neeb, Michael J.
PA   Smithkline Beecham Corporation, USA
SO   PCT Int. Appl., 82 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   WO 2004011427     A2    20040205       WO 2003-US23343  20030728 <--
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,
```

KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2002-400085P P 20020731 <--
OS MARPAT 140:145898
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Benzanilides of formula Ar-A-E (I) [wherein Ar = (un)substituted heteroaryl/biphenyl, aryl; A = CONH and derivs., NHCO, NHCH2, CH2NH; E = aromatic monocyclic, bicyclic, spiral optionally quaternized or present as N-oxide; and their pharmaceutical acceptable salts and solvates] were prepared as modulators of the chemokine CCR5 receptor for treatment and prevention of disease states mediated by CCR5. For example, II was prepared by acylation of III (preparation given) with 3'-(2-ethoxy-2-oxoethoxy)-1,1'-biphenyl-4-carboxylic acid in the presence of TEA/CH3CN/BOP at room temperature for 16 h. I showed CCR5 receptor modulator activity, having IC50 values in the range of 0.0001 to 100 μM. Thus, I and their pharmaceutical compns. are useful for treating asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis and other fibrotic diseases, atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, and inflammatory bowel disease, chronic obstructive pulmonary disease, and HIV infection.
IT **648902-32-3P**, N-[3-(4-Piperidinyl)-4-methoxyphenyl]-1,1'-biphenyl-4-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzanilides as modulators of CCR5 receptor)
RN 648902-32-3 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-methoxy-3-(4-piperidinyl)phenyl]-(9CI) (CA INDEX NAME)



L61 ANSWER 2 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:100953 HCAPLUS
DN 140:128157
TI Preparation of benzanilides as modulators of the CCR5 receptor
IN Bondinell, William E.; Neeb, Michael J.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004010943	A2	20040205	WO 2003-US23524	20030728 <--

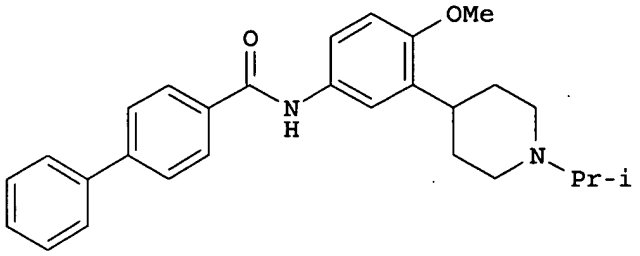
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

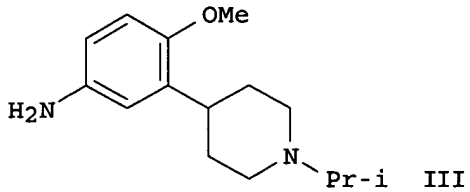
PRAI US 2002-400257P P 20020731 <--

OS MARPAT 140:128157

GI



II



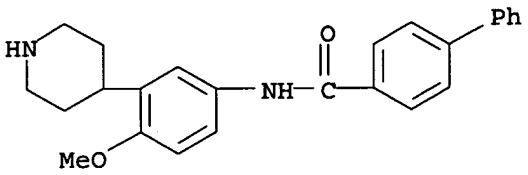
III

AB Benzanilides of formula Ar-A-E (I) [wherein Ar = (un)substituted heteroaryl/biphenyl, aryl; A = CONH and derivs., NHCO, NHCH2, CH2NH; E = aromatic monocyclic, bicyclic, spiral, with the basic nitrogen optionally quaternized or present as N-oxide; and their pharmaceutical acceptable salts and solvates] were prepared as modulators of the CCR5 receptor for treatment and prevention of disease states mediated by CCR5. For example, II was prepared by acylation of III (preparation given) with 1,1'-biphenyl-4-carboxylic acid in the presence of DIPEA/CH3CN/BOP at room temperature for 16 h.

I showed CCR5 receptor modulator activity, having IC50 values in the range of 0.0001 to 100 μM. Thus, I and their pharmaceutical compns. are useful for treating asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis and other fibrotic diseases, atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, and inflammatory bowel disease, chronic obstructive pulmonary disease, and HIV infection.

IT **648902-32-3P**, N-[3-(4-Piperidinyl)-4-methoxyphenyl]-1,1'-biphenyl-4-carboxamide
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(CCR5 receptor modulator; preparation of benzanilides as modulators of CCR5 receptor)

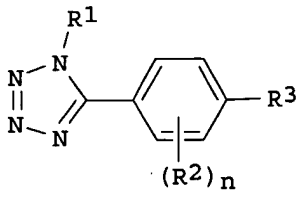
RN 648902-32-3 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-methoxy-3-(4-piperidinyl)phenyl]-(9CI) (CA INDEX NAME)



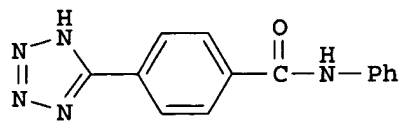
L61 ANSWER 3 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:80665 HCAPLUS
DN 140:146144
TI Preparation of 5-aryltetrazoles as inhibitors of xanthine oxidase for treatment of inflammation
IN Nivorozhkin, Alex; Van Duzer, John; Salzman, Andrew; Southan, Garry; Ram, Siya; Zeng, Qi; Szabo, Csaba
PA Inotek Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004009563	A1	20040129	WO 2003-US22462	20030717 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004019208	A1	20040129	US 2002-197609	20020718 <--
PRAI US 2002-197609	A	20020718 <--		

OS MARPAT 140:146144
GI



I



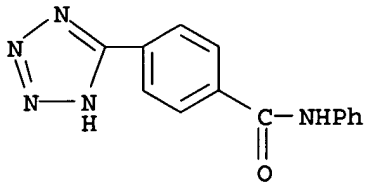
II

AB Title compds. I [R1 = carboxyalkyl; R2 = halo, NO2, CN, OH, amino, alkoxy, etc.; R3 = H, halo, NO2, CN, OH, etc.; n =0-4] are prepared For instance, 4-cyanobenzoyl chloride is reacted with aniline (PhMe); the resulting amide is treated with Bu2SnO/TMSN3 (PhMe, 100°, 5 h) to give II after aqueous acidic work-up. II, at 1 μM, shows 100% inhibition of xanthine oxidase. I are useful for treating an inflammation disease, a

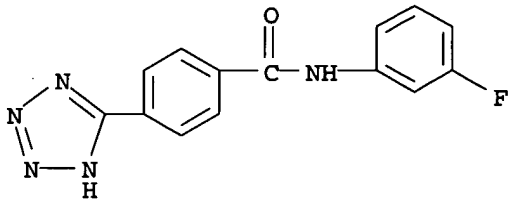
reperfusion disease, or hyperuricemia.

IT **143330-27-2P 651769-54-9P 651769-55-0P**
651769-57-2P 651769-60-7P 651769-62-9P
651769-63-0P 651769-65-2P 651769-67-4P
651769-68-5P 651769-70-9P 651769-71-0P
651769-73-2P 651769-78-7P 651769-92-5P
651769-93-6P 651769-94-7P 651769-95-8P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of 5-aryltetrazoles as inhibitors of xanthine oxidase for treatment of inflammation)

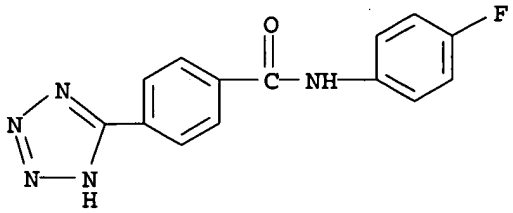
RN 143330-27-2 HCAPLUS
CN Benzamide, N-phenyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



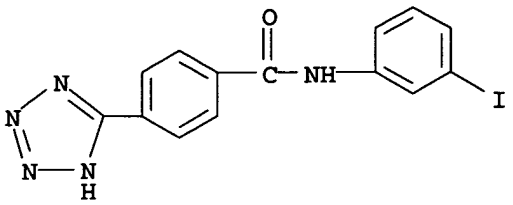
RN 651769-54-9 HCAPLUS
CN Benzamide, N-(3-fluorophenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



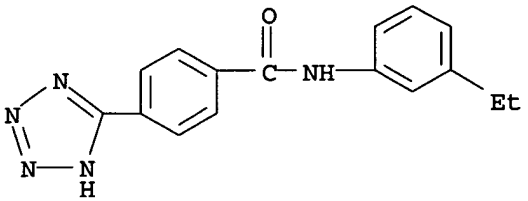
RN 651769-55-0 HCAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



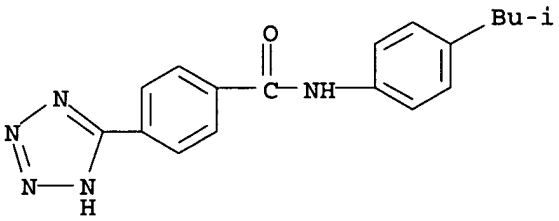
RN 651769-57-2 HCAPLUS
CN Benzamide, N-(3-iodophenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



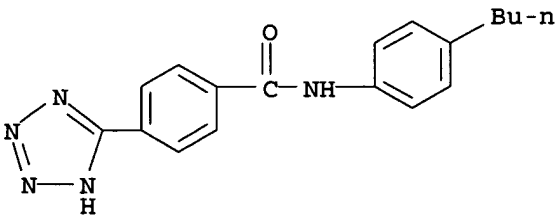
RN 651769-60-7 HCAPLUS
CN Benzamide, N-(3-ethylphenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



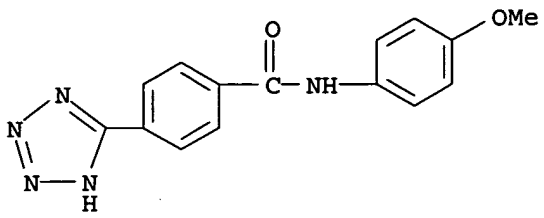
RN 651769-62-9 HCAPLUS
CN Benzamide, N-[4-(2-methylpropyl)phenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



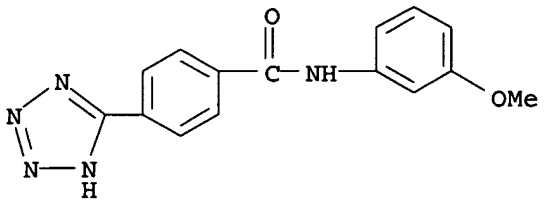
RN 651769-63-0 HCAPLUS
CN Benzamide, N-(4-butylphenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



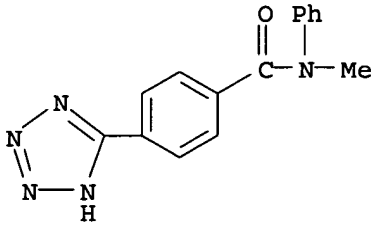
RN 651769-65-2 HCAPLUS
CN Benzamide, N-(4-methoxyphenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



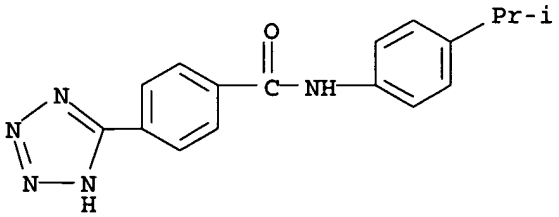
RN 651769-67-4 HCAPLUS
CN Benzamide, N-(3-methoxyphenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



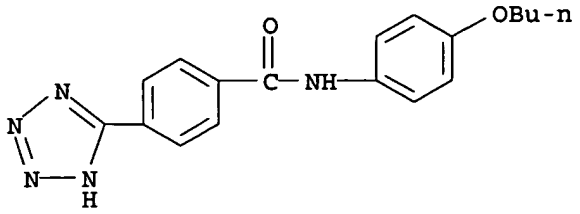
RN 651769-68-5 HCAPLUS
CN Benzamide, N-methyl-N-phenyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



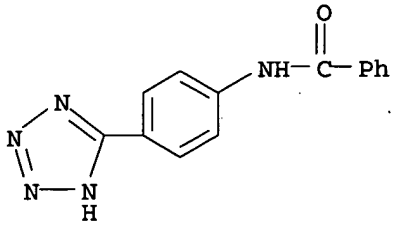
RN 651769-70-9 HCAPLUS
CN Benzamide, N-[4-(1-methylethyl)phenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



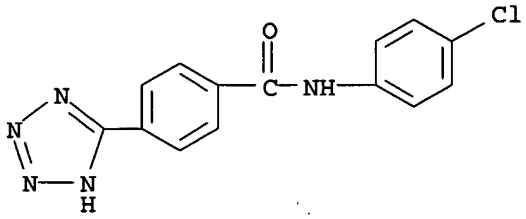
RN 651769-71-0 HCAPLUS
CN Benzamide, N-(4-butoxyphenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



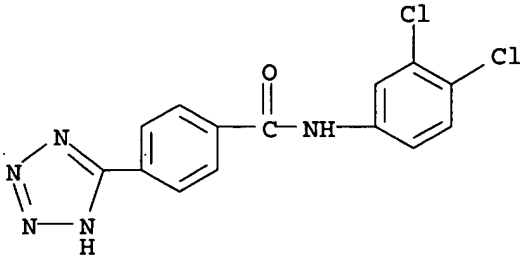
RN 651769-73-2 HCAPLUS
CN Benzamide, N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



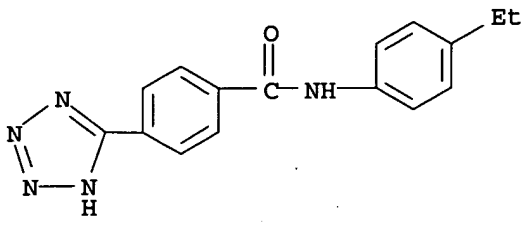
RN 651769-78-7 HCAPLUS
CN Benzamide, N-(4-chlorophenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



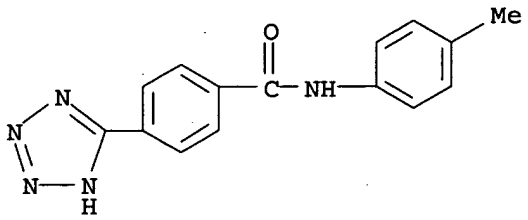
RN 651769-92-5 HCAPLUS
CN Benzamide, N-(3,4-dichlorophenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



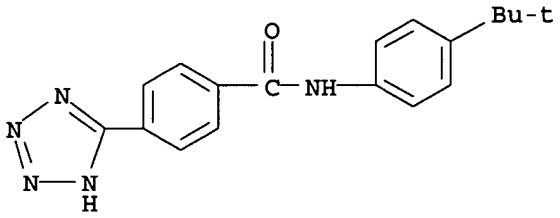
RN 651769-93-6 HCAPLUS
CN Benzamide, N-(4-ethylphenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 651769-94-7 HCAPLUS
CN Benzamide, N-(4-methylphenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 651769-95-8 HCAPLUS
CN Benzamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Sidduri	2002			US 6388088	HCAPLUS

L61 ANSWER 4 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:261820 HCAPLUS
DN 138:287978
TI Novel ligands for the HisB10 Zn2+ sites of the R-state insulin hexamer
IN Olsen, Helle Birk; Kaarsholm, Niels C.; Madsen, Peter; Ostergaard, Soren; Ludvigsen, Svend; Jakobsen, Palle; Petersen, Anders Klarskov; Steensgaard, Dorte Bjerre
PA Novo Nordisk A/S, Den.; Novo Nordisk Health Care AG
SO PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003027081	A2	20030403	WO 2002-DK595	20020913 <--
WO 2003027081	A3	20040325		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003229120 A1 20031211 US 2003-332541 20030514 <--

PRAI DK 2001-1337 A 20010914 <--
US 2001-323925P P 20010921 <--
DK 2002-1066 A 20020705 <--
US 2002-396051P P 20020710 <--
WO 2002-DK595 W 20020913 <--

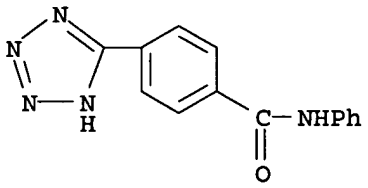
OS MARPAT 138:287978

AB Novel ligands for the HisB10 Zn2+ sites of the R-state insulin hexamer that are capable of prolonging the action of insulin prepns. are disclosed. The ligands stabilize the hexamers and modify solubility in the neutral range, thus releasing insulin slowly following s.c. injection. Zinc-binding ligands A-B-C-D-X [A is a group which reversibly binds to a HisB10 Zn2+ site of an insulin hexamer; B is a linker selected from a valence bond or a chemical group GB of formula -B1-B2-CO-, -B1-B2-SO2-, -B1-B2-CH2-, or -B1-B2-NH-, where B1 is a valence bond, O, S, NH, or alkylimino and B2 is a valence bond, alk(en)(yn)ylene, (hetero)arylene, alkanedioyl, etc.; C is a fragment consisting of 0-5 neutral amino acids; D is a fragment comprising 1 to 20 pos. charged groups selected from amino or guanidino groups; X is OH, NH2 or a diamino group], including pharmaceutically-acceptable salts, isomers or racemates, are claimed. Thus, benzotriazol-5-ylcarbonyl-Gly2-Arg5-NH2 (BT-G2R5) was prepared and its effect on the pH-solubility profile of an insulin preparation is shown graphically.

IT **143330-27-2P 503828-68-0P**
RL: **BCP (Biochemical process)**; SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); PROC (Process)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)

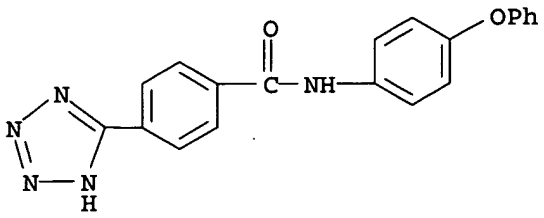
RN 143330-27-2 HCAPLUS

CN Benzamide, N-phenyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 503828-68-0 HCAPLUS

CN Benzamide, N-(4-phenoxyphenyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



L61 ANSWER 5 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:23106 HCAPLUS
DN 138:83329
TI Use of metal ion chelates in validating biological molecules as drug targets in test animal models
IN Rist, Oystein; Hogberg, Thomas; Holst Lange, Birgitte; Schwartz, Thue W.; Elling, Christian E.
PA 7TM Pharma A/S, Den.
SO PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003003009	A1	20030109	WO 2002-DK456	20020628 <--
W:	AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002054077	A2	20020711	WO 2001-DK867	20011221 <--
W:	AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

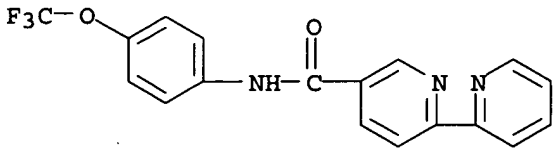
PRAI DK 2001-1026	A	20010629	<--
DK 2001-1027	A	20010629	<--
DK 2001-1028	A	20010629	<--
DK 2001-1030	A	20010629	<--
DK 2001-1031	A	20010629	<--
US 2001-301931P	P	20010629	<--
WO 2001-DK867	A	20011221	<--
WO 2000-EP13389	W	20001229	<--
DK 2001-536	A	20010330	<--
US 2001-280237P	P	20010330	<--

OS MARPAT 138:83329
AB The invention discloses the use of chemical compds. or selections of chemical compds. (libraries) of the general Formula R1XFY(R1)GZR1 [F, G = N, O, S, Se, P; X, Y, Z = (un)branched C1-12 alkyl, aryl, heteroaryl, etc.; R1 =

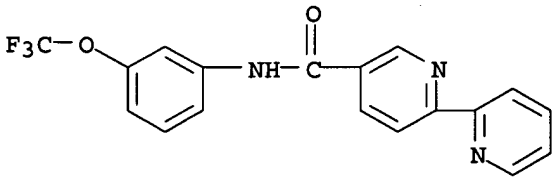
ABC; A = coupling or connecting moiety; B = spacer moiety; C = functional group] for in vivo methods for testing or validating the physiol. importance and/or the therapeutic or pharmacol. potential of biol. target mols., notably proteins such as, e.g., receptors and especially 7TM receptors in test animals expressing the biol. target mol. with, notably, a silent, engineered metal ion site. Use of specific metal ion binding sites of a generic nature in specific biol. target mols. such as, e.g. transmembrane proteins wherein the metal ion binding site is capable of forming a complex with a metal ion is also described. Also disclosed are chemical compds. or libraries suitable for use in methods for improving the in vivo pharmacokinetic behavior of metal ion chelates (e.g. the absorption pattern, the plasma half-life, the distribution, the metabolism and/or the elimination of the metal ion chelates). In order to improve the efficacy of the impact of the metal ion chelate on the biol. target mol. after administration of the metal ion chelate in vivo to a test animal, it is advantageous e.g. to increase the period during which the metal ion chelate is in the circulatory system and/or localized at the target. Further disclosed are metal ion-chelating compds. designed to be suitable for use in a target validation process according to the invention, as well as libraries of at least two or more of such metal ion-chelating compds.

IT **482324-26-5 482324-30-1 482324-83-4 48232 5-56-4 482326-00-1**
RL: **BSU (Biological study, unclassified)**; **THU (Therapeutic use)**; **BIOL (Biological study)**; USES (Uses)
(metal ion chelates in validating biol. mols. as drug targets in test animal models)

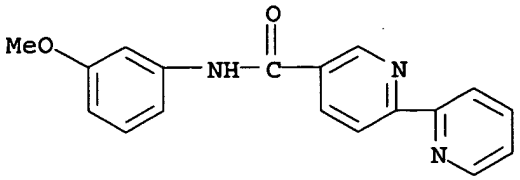
RN 482324-26-5 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



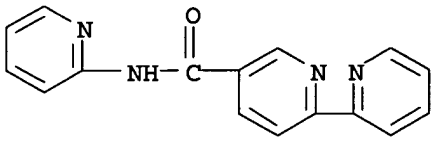
RN 482324-30-1 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



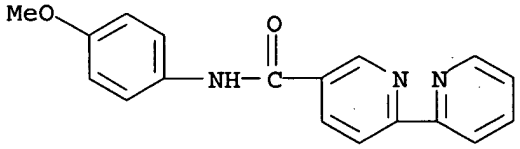
RN 482324-83-4 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 482325-56-4 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 482326-00-1 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
7tm Pharma	2001			WO 0150127 A	HCAPLUS
California Institute Of	2001			WO 0106260 A	HCAPLUS
Elling, C	2000	39	667	BIOCHEMISTRY	HCAPLUS
Elling, C	1996	15	6213	EMBO JOURNAL	HCAPLUS
Elling, C	1999	96	12322	PROCEEDINGS OF THE N	HCAPLUS
Isis Pharmaceuticals In	1998			WO 9805961 A	HCAPLUS
Norregaard, L	1998	17	4266	EMBO JOURNAL	HCAPLUS
Resolution Pharm Inc	1999			WO 9910016 A	HCAPLUS
Szurdoki, F	2000	72	5250	ANALYTICAL CHEMISTRY	HCAPLUS
Wang, F	1999	40	4779	TETRAHEDRON LETTERS	HCAPLUS

L61 ANSWER 6 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:23105 HCAPLUS
DN 138:83328
TI Metal ion binding-based chemical libraries useful for drug discovery processes
IN Hoegberg, Thomas; Rist, Oystein; Hjelmencrantz, Anders; Moldt, Peter; Elling, Christian E.; Schwartz, Thue W.; Gerlach, Lars Ole; Holst Lange, Birgitte
PA 7TM Pharma A/S, Den.
SO PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2003003008	A1	20030109
		WO 2002-DK455	20020628 <--
	W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG		
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
PRAI	DK 2001-1029	A	20010629 <--
	DK 2001-1032	A	20010629 <--
	DK 2001-1033	A	20010629 <--
	DK 2001-1034	A	20010629 <--
	DK 2001-1035	A	20010629 <--
	US 2001-301989P	P	20010629 <--
	US 2001-301990P	P	20010629 <--

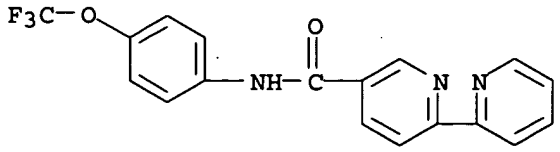
OS MARPAT 138:83328

AB The invention discloses the use of chemical compds. or selections of chemical compds. (libraries) of the general formula R1XFY(R1)GZR1 [F, G = N, O, S, Se, P; X, Y, Z = (un)branched C1-12 alkyl, (hetero)aryl, etc.; R1 = H, ABC; A = coupling or connecting moiety; B = spacer moiety; C = functional group] for in vivo methods for testing or validating the physiol. importance and/or the therapeutic or pharmacol. potential of biol. target mols., notably proteins such as, e.g., receptors and especially 7TM receptors in test animals expressing the biol. target mol. with, notably, a silent, engineered metal ion site. Use of specific metal ion binding sites of a generic nature in specific biol. target mols. such as, e.g. transmembrane proteins wherein the metal-ion binding site is capable of forming a complex with a metal ion is also described. The invention provides chemical compds. or libraries suitable for use in methods for improving the in vivo pharmacokinetic behavior of metal-ion chelates (e.g. the absorption pattern, the plasma half-life, the distribution, the metabolism and/or the elimination of the metal ion chelates). In order to improve the efficacy of the metal ion chelates impact on the biol. target mol. after administration of the metal ion chelate in vivo to a test animal, it is advantageous e.g. to increase the time period during which the metal ion chelate is in the circulatory system and/or localized at the target. Metal ion chelating compds., which are designed to be suitable for use in a target validation process according to the invention and to libraries of at least two or more of such metal-ion chelating compds. are disclosed.

IT **482324-26-5 482324-30-1 482324-83-4 482325-56-4 482326-00-1**
RL: **BSU (Biological study, unclassified); BIOL (Biological study)**
(metal ion binding-based chemical libraries for drug discovery processes)

RN 482324-26-5 HCAPLUS

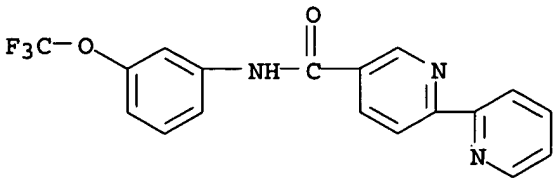
CN [2,2'-Bipyridine]-5-carboxamide, N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



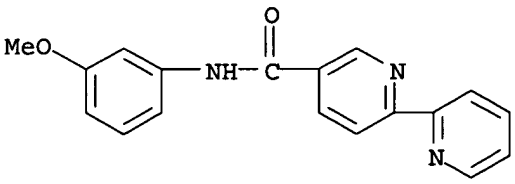
RN 482324-30-1 HCAPLUS

CN [2,2'-Bipyridine]-5-carboxamide, N-[3-(trifluoromethoxy)phenyl]- (9CI)

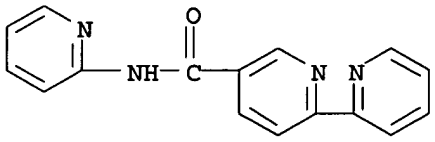
(CA INDEX NAME)



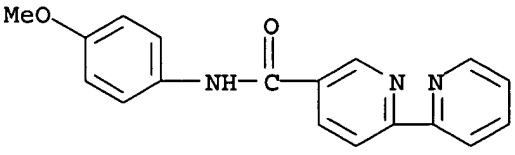
RN 482324-83-4 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 482325-56-4 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 482326-00-1 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RETABLE

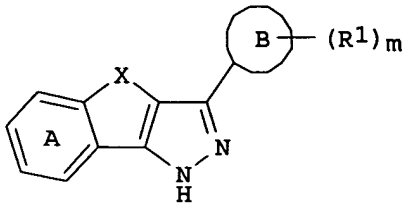
Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
7tm Pharma	2001			WO 0150127 A	HCAPLUS
Bayer Ag	1988			EP 0282893 A	HCAPLUS
California Institute Of	2001			WO 0106260 A	HCAPLUS
Elling, C	2000	39	667	BIOCHEMISTRY	HCAPLUS
Elling, C	1996	15	6213	EMBO JOURNAL	HCAPLUS
Elling, C	1999	96	12322	PROCEEDINGS OF THE N	HCAPLUS
Igen Int Inc	1997			WO 9732886 A	HCAPLUS
Isis Pharmaceuticals In	1998			WO 9805961 A	HCAPLUS
Norregaard, L	1998	17	4266	EMBO JOURNAL	HCAPLUS
Resolution Pharm Inc	1999			WO 9910016 A	HCAPLUS

Szurdoki, F	2000	72	5250	ANALYTICAL CHEMISTRY	HCAPLUS
Wang, F	1999	40	4779	TETRAHEDRON LETTERS	HCAPLUS

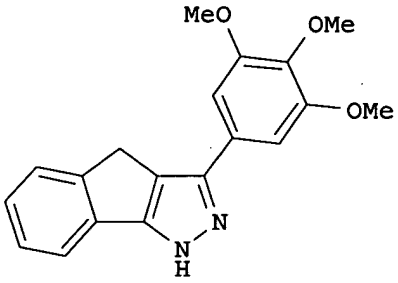
L61 ANSWER 7 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:770129 HCAPLUS
DN 137:279184
TI Preparation of 3-(hetero)aryl pyrazoles with 4,5(3,4)-bicyclic ring fusion as protein kinase inhibitors
IN Doyle, Kevin J.; Rafferty, Paul; Steele, Robert W.; Wilkins, David J.; Arnold, Lee D.; Hockley, Michael; Ericsson, Anna M.; Iwasaki, Nobuhiko; Ogawa, Nobuo
PA BASF Aktiengesellschaft, Germany
SO U.S., 69 pp., Cont.-in-part of WO 2000 27,822.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6462036	B1	20021008	US 2000-573366	20000517 <--
	WO 2000027822	A2	20000518	WO 1999-US26105	19991104 <--
	WO 2000027822	A3	20000810		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	WO 2001087846	A2	20011122	WO 2001-US16153	20010517 <--
	WO 2001087846	A3	20020321		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1289525	A2	20030312	EP 2001-937553	20010517 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003533514	T2	20031111	JP 2001-584242	20010517 <--
PRAI	US 1998-107467P	P	19981106 <--		
	WO 1999-US26105	A2	19991104 <--		
	US 2000-573366	A1	20000517 <--		
	WO 2001-US16153	W	20010517 <--		

OS CASREACT 137:279184; MARPAT 137:279184
GI



I



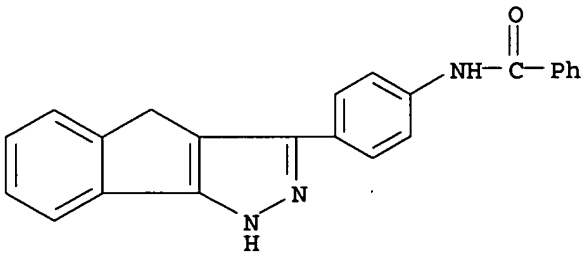
II

AB Title compds. I [m = 1-10; X = alkyl, CO, O, oximino, etc.; B = alkyl, cycloalkyl, aryl, pyridyl, thienyl, furyl, pyrrolyl; R1 = H, halo, hydroxy, nitro, cyano, hydroxyamidino, etc.; A = (un)substituted with one or more substituents selected from halo, alkyl, etc.] were prepared For instance, indan-1-one hydrazone (preparation given) was reacted with Me 3,4,5-trimethoxybenzoate (THF, n-BuLi, 0°) and subsequently acidified with HCl (3 M) and heated to reflux for 1 h to give II. I are inhibitors of protein kinase activity and used for the treatment of, e.g., cancer, diabetic retinopathy, etc.

IT **268559-78-0P 268559-84-8P**, 4-(1,4-Dihydroindeno[1,2-c]pyrazol-3-yl)benzanilide
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(kinase inhibitor; 3-(hetero)aryl pyrazoles with 4,5(3,4)-bicyclic ring fusion as protein kinase inhibitors)

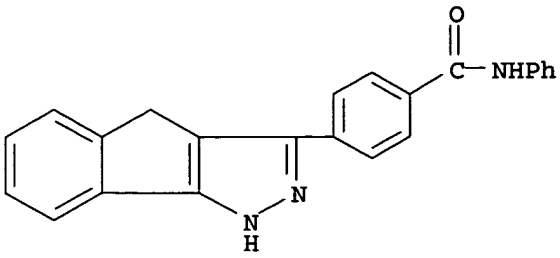
RN 268559-78-0 HCAPLUS

CN Benzamide, N-[4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 268559-84-8 HCAPLUS

CN Benzamide, 4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)-N-phenyl- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Anon	1985			JP 60130521	HCAPLUS
Anon	1994			WO 9410162	HCAPLUS
Anon	1997			WO 9715308	HCAPLUS
Anon	1999			WO 9917769	HCAPLUS
Anon	1999			WO 9917770	HCAPLUS
Anon	1999			WO 9954308 A1	HCAPLUS
Anon	2000			WO 0027822 A2	HCAPLUS
Anon	2000			WO 0059901 A1	HCAPLUS
Babeck	1976			US 3932430 A	HCAPLUS
Collins	1997			US 5686480 A	
Coombs	1974			US 3843664 A	HCAPLUS
Coombs	1974			US 3843665 A	HCAPLUS
Coombs	1974			US 3843666 A	HCAPLUS
Coombs	1976			US 3959308 A	HCAPLUS
Gatta	1984				HCAPLUS
Habke	1976			US 3957816 A	HCAPLUS
Lemke	1978				HCAPLUS
Mosher, W	1971	8	855	J Heterocyclic Chem	HCAPLUS
Povey	1996				HCAPLUS
Somogyi	1999				HCAPLUS

L61 ANSWER 8 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:107327 HCAPLUS
DN 136:167394
TI Preparation of carboxamide compounds and their use as antagonists of a human 11CBY receptor
IN Johnson, Christopher Norbert; Jones, Martin; O'Toole, Catherine Anne; Stemp, Geoffrey; Thewlis, Kevin Michael; Witty, David
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

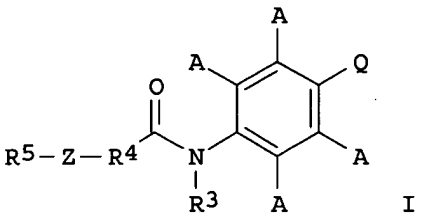
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002010146	A1	20020207	WO 2001-EP8637	20010726 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1305304	A1	20030502	EP 2001-956562	20010726 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

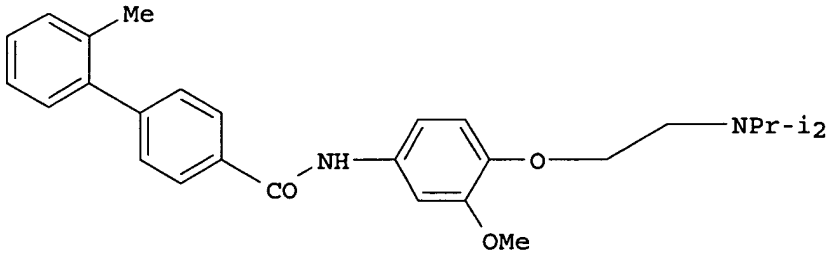
	BR 2001012856	A	20030701	BR 2001-12856	20010726	<--
	JP 2004505070	T2	20040219	JP 2002-515877	20010726	<--
	NO 2003000471	A	20030328	NO 2003-471	20030130	<--
	BG 107510	A	20030930	BG 2003-107510	20030130	<--
	US 2004063686	A1	20040401	US 2003-343424	20030930	<--
PRAI	GB 2000-18758	A	20000731	<--		
	GB 2001-12544	A	20010523	<--		
	WO 2001-EP8637	W	20010726	<--		

OS MARPAT 136:167394

GI



I



II

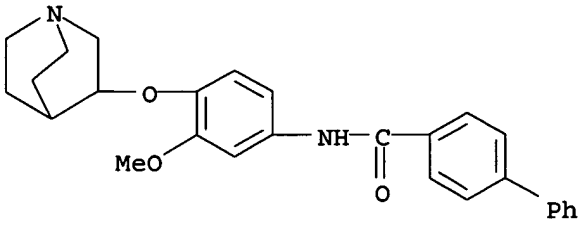
AB Title compds. [I; A = H, C1-6alkyl optionally substituted by hydroxyl, C1-6alkoxy, C1-6alkenyl, C1-6 acyl, halogeno, OH, CN, CF3; R3 = H, CH3, CH3CH2; R4 = aromatic carbocycle, heterocycle; Z = O, S, NH, CH2, single bond, at the 3 or 4 position of R4 relative to the carbonyl group; R5 = aromatic carbocycle, heterocycle; Q = XYNR1R2; X = O, S; Y = C2-4 alkylene, C5-6 cycloalkylene; R1, R2 independently = C1-6 alkyl, phenyl-C1-6 alkyl; R1R2 = 5-, 6-, 7-membered ring optionally containing one or more heteroatom selected from O, S, N; etc.], pharmaceutically acceptable salts, and solvate are prepared and as antagonists of a human 11CBY receptor. Title compds. and pharmaceutical composition are useful in the treatment and/or prophylaxis of one or more of the disorder, such as, major depression, manic depression, anxiety, etc. Thus, the title compound II was prepared from 2'-methyl-biphenyl-4-carboxylic acid and 4-(2-diisopropylamino-ethoxy)-3-methoxy-phenylamine in DMF in the presence of 1-(3-dimethylaminopropyl)-3-Et carbodiimide hydrochloride and 1-hydroxy-7-azabenzotriazole.

IT **395678-45-2P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of carboxamide compds. as antagonists of human 11CBY receptor)

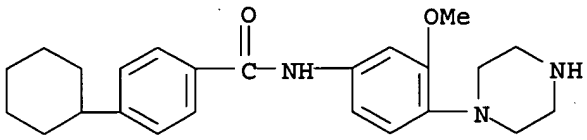
RN 395678-45-2 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-(1-azabicyclo[2.2.2]oct-3-yloxy)-3-

methoxyphenyl]- (9CI) (CA INDEX NAME)



IT **394249-02-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carboxamide compds. as antagonists of human 11CBY receptor)
RN 394249-02-6 HCAPLUS
CN Benzamide, 4-cyclohexyl-N-[3-methoxy-4-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Smithkline Beecham	1999			WO 9901127 A	HCAPLUS
Smithkline Beecham	2000			WO 0006146 A	HCAPLUS
Yoshitomi	2000			WO 0047558 A	HCAPLUS

L61 ANSWER 9 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:851123 HCAPLUS
DN 136:5985
TI Preparation of tricyclic pyrazole derivatives as tyrosine kinase inhibitors for treatment of angiogenesis-related diseases
IN Doyle, Kevin J.; Rafferty, Paul; Steele, Robert W.; Wilkins, David J.; Arnold, Lee D.; Hockley, Michael; Ericsson, Anna M.; Iwasaki, Nobuhiko; Ogawa, Nobuo
PA Knoll G.m.b.H., Germany
SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001087846	A2	20011122	WO 2001-US16153	20010517 <--
WO 2001087846	A3	20020321		

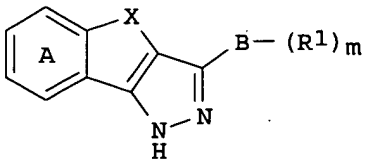
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	US 6462036	B1	20021008	US 2000-573366	20000517 <--
	EP 1289525	A2	20030312	EP 2001-937553	20010517 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003533514	T2	20031111	JP 2001-584242	20010517 <--
PRAI	US 2000-573366	A1	20000517 <--		
	US 1998-107467P	P	19981106 <--		
	WO 1999-US26105	A2	19991104 <--		
	WO 2001-US16153	W	20010517 <--		

OS MARPAT 136:5985

GI



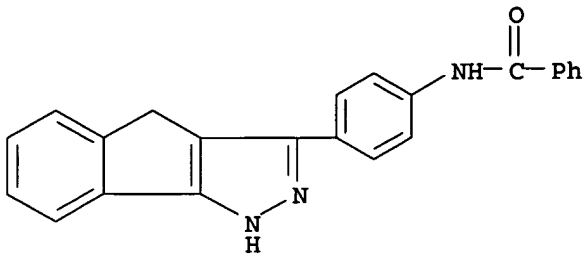
I

AB Title compds. I [m = 1-10; X = (CH2)n, CO, O, C:NOR10, NR11, (CH2)n, S, SO, or SO2; n = 1-3; R10 = alkyl; R11 = (un)substituted alkyl or Ph; B = (cyclo)alkyl, aryl, pyridyl, thienyl, furyl, or pyrrolyl; R1 = H, halo, OH, NO2, CN, hydroxyamidino, CH2NH2, formamidomethyl, (un)substituted alkenyl(oxy), alkynyl, or YW; Y = absent or alkyl, alkoxy, O, S, or CO; W = H, OH, (un)substituted Ph, alkoxy, or amino; ring A is optionally substituted with halo, OH, NO2, CN, or (un)substituted alkyl, alkoxy, PhO, carboxy, carbamoyl, amino, amido, aralkyl, alkenyl, or alkynyl; with provisos; and racemic mixts., racemic diastereomeric mixts., tautomers, optical isomers, and pharmaceutically acceptable salts thereof] were prepared as protein kinase inhibitors, especially tyrosine kinase inhibitors. Thus, indan-1-one hydrazone (preparation given) in THF at 0° was treated with BuLi and then with Me 3,4,5-trimethoxybenzoate to give 3-(3,4,5-trimethoxyphenyl)-1,4-dihydroindeno[1,2-c]pyrazole. Example compds. significantly inhibited KDR kinase at concns. of ≤ 50 μM.

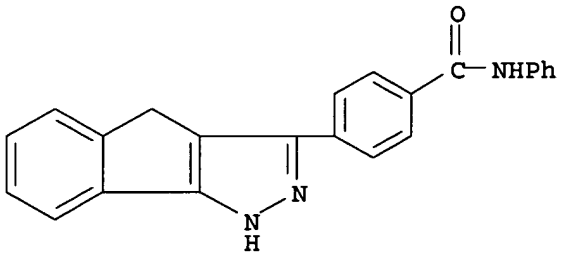
IT **268559-78-0P**, 4'-(1,4-Dihydroindeno[1,2-c]pyrazol-3-yl)benzanilide
268559-84-8P, 4-(1,4-Dihydroindeno[1,2-c]pyrazol-3-yl)benzanilide
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of tricyclic pyrazole derivs. as tyrosine kinase inhibitors for treatment of angiogenesis-related diseases)

RN 268559-78-0 HCAPLUS

CN Benzamide, N-[4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



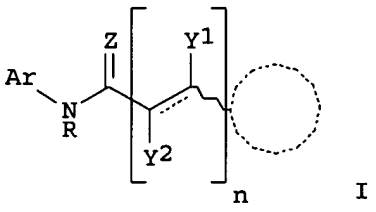
RN 268559-84-8 HCAPLUS
CN Benzamide, 4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)-N-phenyl- (9CI) (CA INDEX NAME)



L61 ANSWER 10 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:366093 HCAPLUS
DN 134:361366
TI Amides as apolipoprotein A-I expression stimulators
IN Yamamori, Teruo; Nagata, Kiyoshi; Ishizuka, Natsuki; Sakai, Katsunori
PA Shionogi and Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001139550	A2	20010522	JP 1999-326416	19991117 <--
PRAI	JP 1999-326416		19991117 <--		

OS MARPAT 134:361366
GI



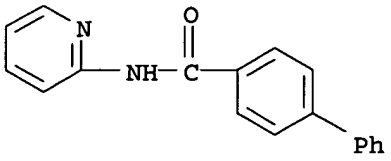
I

AB The stimulators, useful for treatment of arteriosclerosis and blood lipid disorder, comprise I [A = (un)substituted mono or dicyclic aromatic hydrocarbyl, heterocyclyl, etc.; Ar1 = (un)substituted mono or dicyclic aromatic hydrocarbyl, heterocyclyl; R = H, (un)substituted lower alkyl; Z = O, S; Y1, Y2 = H, halo, (un)substituted lower alkyl, CO2H, (un)substituted

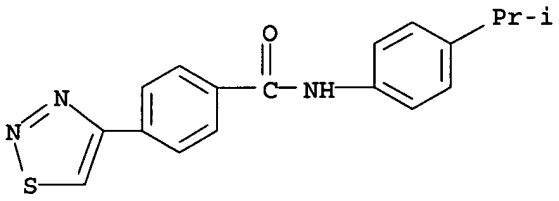
lower alkoxycarbonyl, cyano, etc.; n = 0-2; dotted line represents optional double bond], their prodrug, pharmaceutically acceptable salts, or hydrates. P-toluidine was reacted with p-chlorobenzoyl chloride in the presence of pyridine in CHCl3 at room temperature for 5 h to give 81.6% 4-chloro-N-(4-tolyl)benzamide showing good stimulating activity for promoting human apolipoprotein A-I production gene.

IT **187324-58-9P 254429-90-8P 340258-74-4P**
340258-75-5P
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(amides as apolipoprotein A-I expression stimulators)

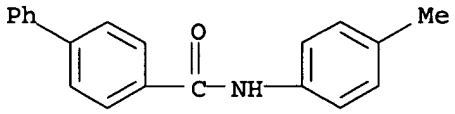
RN 187324-58-9 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



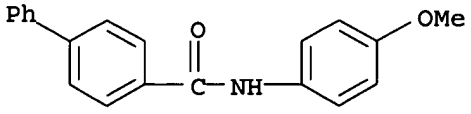
RN 254429-90-8 HCAPLUS
CN Benzamide, N-[4-(1-methylethyl)phenyl]-4-(1,2,3-thiadiazol-4-yl)- (9CI) (CA INDEX NAME)



RN 340258-74-4 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 340258-75-5 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L61 ANSWER 11 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:911225 HCAPLUS
DN 134:71593

TI Preparation of imidazoline derivatives for the treatment of diabetes, especially type II diabetes

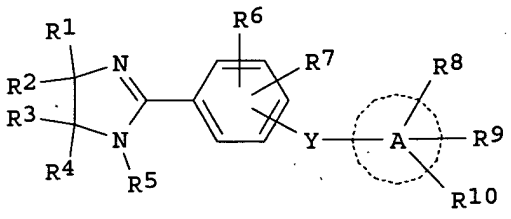
IN Paal, Michael; Ruehter, Gerd; Schotten, Theo
PA Eli Lilly and Company, USA

SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

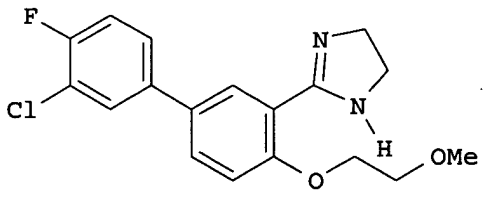
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000078726	A1	20001228	WO 2000-US11881	20000619 <--
GB 2351081	A1	20001220	GB 1999-14222	19990618 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1999-14222 A 19990618 <--
OS MARPAT 134:71593
GI



I



II

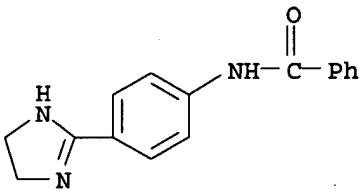
AB The title compds. [I; R1-R4 = H, alkyl; R1 and R3, together with the carbon atoms to which they are attached, combine to form a C3-7 carbocyclic ring and R2 and R4 = H, alkyl; R1 and R2, together with the carbon atom to which they are attached combine to form a C3-7 spirocarbocyclic ring and R3 and R4 = H, alkyl; R3 and R4, together with the carbon atom to which they are attached combine to form a C3-7 spirocarbocyclic ring and R1 and R2 = H, alkyl; R5 = H, alkyl, aryl, etc.; R6 = H, alkyl, alkoxy, etc.; R7 = H, alkyl, alkoxy, etc.; Y = NHCONH, NHCO, a bond, etc.; A = a monocyclic or bicyclic ring; R8 = H, alkyl, alkenyl, etc.; R9, R10 = H, alkyl, alkoxy, etc.], useful for the treatment of diabetes, diabetic complications, metabolic disorders, or related diseases where impaired glucose disposal is present (no data), were prepared and formulated. E.g., a multi-step synthesis of the imidazoline II.HCl was given. The compds. I are effective at 0.1-5 mg/kg/day.

IT **314240-50-1P 314240-65-8P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of imidazoline derivs. as antidiabetics)

RN 314240-50-1 HCAPLUS
CN Benzamide, N-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

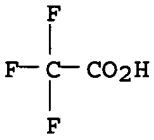
CM 1

CRN 314240-49-8
CMF C16 H15 N3 O

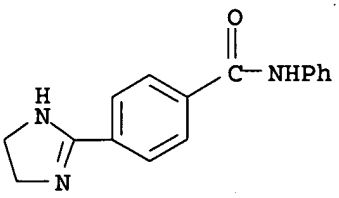


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 314240-65-8 HCAPLUS
CN Benzamide, 4-(4,5-dihydro-1H-imidazol-2-yl)-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Adir Et Compagnie	1998			EP 0846688 A	HCAPLUS
American Home Products	1971			GB 1229652 A	

American Home Products	1973			GB 1322339 A	HCAPLUS
Badische Anilin- & Soda	1973			FR 2182994 A	HCAPLUS
Theodore, S	1976			US 3931218 A	HCAPLUS
Vidya, B	1999			US 5889032 A	HCAPLUS
William, J	1974			US 3852303 A	HCAPLUS

L61 ANSWER 12 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:553560 HCAPLUS
DN 133:164005
TI Preparation of substituted N-heterocyclyl benzamides and analogs as G-protein coupled heptahelical receptor binding compounds
IN Shiosaki, Kazumi; Fleming, Paul
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

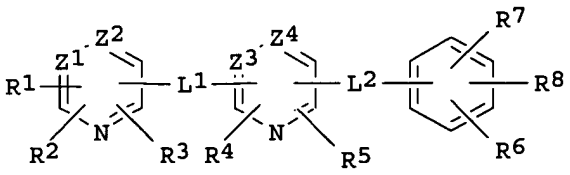
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000046203	A2	20000810	WO 2000-US3042	20000203 <--
WO 2000046203	A3	20010301		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

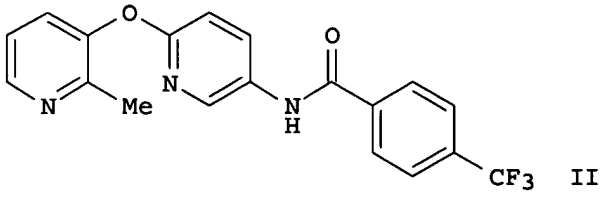
EP 1150955	A2	20011107	EP 2000-907184	20000203 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRAI US 1999-118893P P 19990204 <--
WO 2000-US3042 W 20000203 <--
OS MARPAT 133:164005
GI



I



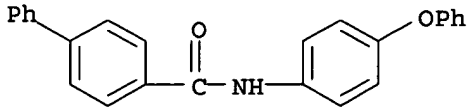
II

AB The title compds. (I) [wherein Z1-Z4 = independently N or C; R1-R8 = independently H, alkyl(amino), alkenyl, alkynyl, alkoxy, thioalkyl, hydroxyalkyl, halo(alkyl), NH2, or carboxyl; L1 = O, S, NH, NR7, (CHR7)n, C(O), CR7OH, or O(CHR7)n; n = 1-3; L2 = a bond, CH2C(O), NHC(O), OC(O), C(O), CH2NHC(O), NHC(O)CH2, CHOH, (CH2)n, O, NH, O(CH2)m, NH(CH2)m,

CH2CHOH, and NR8C(O); m = 0-3] were prepared for the treatment of neurol., immunol., inflammatory, cancer, and other β-chemokine mediated disorders. For example, coupling of 2-methyl-3-hydroxypyridine with 2-chloro-5-nitropyridine in the presence of NaH (87%), followed by reduction of the nitro group using Fe/AcOH (51%) and acylation of the amine with 4-trifluoromethylbenzoyl chloride, gave II. In a time resolved fluorescence (TRF) assay, II showed very high binding affinity for the CCR10 receptor with IC50 of < 5 μM.

IT **287943-39-9P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(GPCR binding compound; preparation of substituted N-heterocyclyl benzamide β-chemokine antagonists and analogs by coupling hydroxyheterocycles with 2-chloro-5-nitroheterocycles, reduction to the amines, and acylation with benzoyl chlorides)

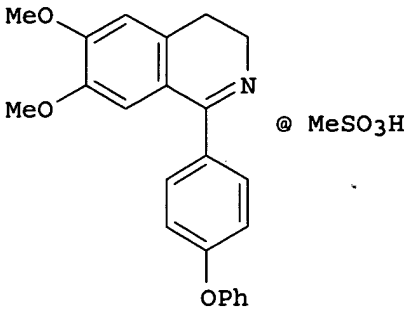
RN 287943-39-9 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



L61 ANSWER 13 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:535118 HCAPLUS
DN 133:135237
TI Fused dihydropyridines and use of fused dihydropyridines in the preparation of agents for the treatment of epilepsy
IN Arndts, Dietrich; Loesel, Walter; Palluk, Rainer
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT **Patent**
LA German
FAN.CNT 1

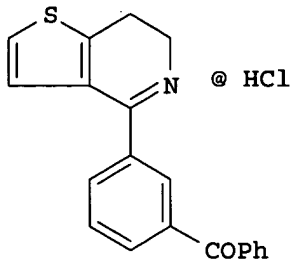
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000044725	A1	20000803	WO 2000-EP261	20000114 <--
	W: CA, JP, MX, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 19943321	A1	20000803	DE 1999-19943321	19990910 <--
PRAI	DE 1999-19903242	A	19990128 <--		
	DE 1999-19943321	A	19990910 <--		

OS MARPAT 133:135237
GI



@ $MeSO_3H$

I



@ HCl

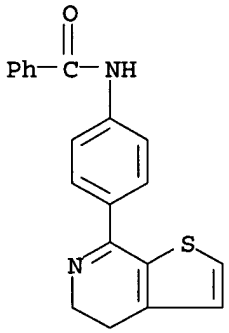
II

AB Title compds. such as I and II were prepared Thus, I was prepared by reaction of N-[2-(3,4-dimethoxyphenyl)ethyl]-4-phenoxybenzamide with $POCl_3$ in MeCN, followed by conversion to the methanesulfonate. Several of the products were subjected to the maximal electroshock test in mice.

IT **286853-06-3P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(fused dihydropyridines in preparation of agents for treatment of epilepsy)

RN 286853-06-3 HCAPLUS

CN Benzamide, N-[4-(4,5-dihydrothieno[2,3-c]pyridin-7-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Chodnekar, M	1968	11	1023	J MED CHEM	HCAPLUS
Jansen, A	1974			US 3823148 A	HCAPLUS
Ohkubo	1996	44	778	CHEM PHARM BULL	HCAPLUS
Sandoz Inc	1967			US 3334090 A	HCAPLUS
Sandoz Ltd				GB 1138754 A	HCAPLUS
Shell Int Research	1992			EP 0491441 A	HCAPLUS
Wyeth John & Brother Lt	1991			GB 2236674 A	HCAPLUS

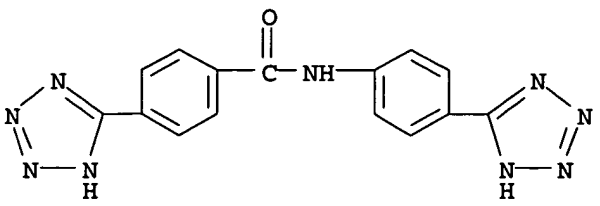
L61 ANSWER 14 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:351357 HCAPLUS
DN 133:9107

TI Dry powder for inhalation
IN Keller, Manfred; Mueller-Walz, Rudi
PA Skyepharma A.-G., Switz.
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT **Patent**
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2000028979	A1	20000525	WO 1999-CH528	19991110	<--
	W: AU, CA, CN, CZ, HU, IN, JP, NO, NZ, PL, RO, RU, SK, US, ZA					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	AU 9964578	A1	20000605	AU 1999-64578	19991110	<--
	AU 756852	B2	20030123			
	EP 1131059	A1	20010912	EP 1999-952212	19991110	<--
	EP 1131059	B1	20030305			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO					
	JP 2002529498	T2	20020910	JP 2000-582027	19991110	<--
	NZ 511527	A	20021025	NZ 1999-511527	19991110	<--
	EP 1283036	A1	20030212	EP 2002-25796	19991110	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
	AT 233550	E	20030315	AT 1999-952212	19991110	<--
	PT 1131059	T	20030731	PT 1999-952212	19991110	<--
	ES 2192866	T3	20031016	ES 1999-952212	19991110	<--
	RU 2221552	C2	20040120	RU 2001-116074	19991110	<--
	ZA 2001003627	A	20010509	ZA 2001-3627	20010504	<--
	NO 2001002346	A	20010626	NO 2001-2346	20010511	<--
	US 6645466	B1	20031111	US 2001-831011	20010809	<--
PRAI	CH 1998-2286	A	19981113	<--		
	EP 1999-952212	A3	19991110	<--		
	WO 1999-CH528	W	19991110	<--		

AB The moisture resistance of dry powder formulations for inhalation, which contain a pharmaceutically inert carrier of noninhalable particle size and a finely divided pharmaceutical substance of inhalable particle size, is improved and the storage stability of the formulations is increased by adding Mg stearate to minimize the deleterious effect of moisture on fine particle dose and fine particle fraction even under relatively extreme temperature and humidity conditions. Thus, 198.46 g lactose-H2O (particle size 100% <200 μm, 50% <125 μm, 10% <75 μm) was mixed with 1 g sieved Mg stearate, then with 0.54 g formoterol fumarate-2H2O, and loaded into a multidose dry powder inhaler.

IT **132640-22-3**, Andolast
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; **THU (Therapeutic use)**; **BIOL (Biological study)**; USES (Uses)
(dry powder for inhalation)
RN 132640-22-3 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



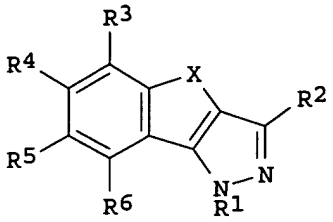
RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Chiesi Farma Spa	1987			EP 0239798 A	HCAPLUS
Chiesi Farma Spa	1987			EP 0239798 A	HCAPLUS
Co Ordinated Drug Dev	1996			WO 9623485 A	HCAPLUS
Co Ordinated Drug Dev	1996			WO 9623485 A	HCAPLUS
Fibitz, E	1973			DD 98022 A	HCAPLUS
Fibitz, E	1973			DD 98022 A	HCAPLUS
Wellcome Found	1988			EP 0272772 A	HCAPLUS
Wellcome Found	1988			EP 0272772 A	HCAPLUS

L61 ANSWER 15 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:335390 HCAPLUS
DN 132:347566
TI Preparation of tricyclic pyrazole derivatives as protein kinase inhibitors.
IN Doyle, Kevin J.; Rafferty, Paul; Steele, Robert W.; Wilkins, David J.; Hockley, Michael; Arnold, Lee D.; Ericsson, Anna M.
PA Basf Aktiengesellschaft, Germany
SO PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2000027822	A2	20000518	WO 1999-US26105	19991104	<--
	WO 2000027822	A3	20000810			
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	BR 9915132	A	20010807	BR 1999-15132	19991104	<--
	EP 1127051	A2	20010829	EP 1999-962700	19991104	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
	TR 200102277	T2	20020121	TR 2001-20010227	19991104	<--
	JP 2003517447	T2	20030527	JP 2000-581002	19991104	<--
	AU 762992	B2	20030710	AU 2000-19091	19991104	<--
	US 6462036	B1	20021008	US 2000-573366	20000517	<--
	BG 105481	A	20011231	BG 2001-105481	20010427	<--
	NO 2001002219	A	20010613	NO 2001-2219	20010504	<--
	ZA 2001003610	A	20020923	ZA 2001-3610	20010504	<--
PRAI	US 1998-107467P	P	19981106	<--		
	WO 1999-US26105	W	19991104	<--		

OS MARPAT 132:347566
GI

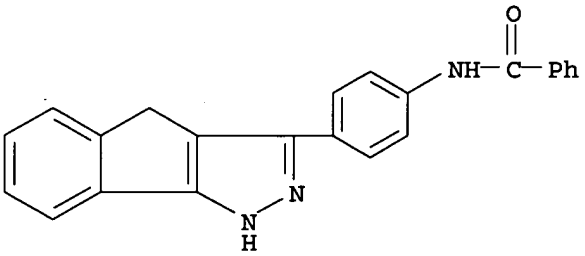


I

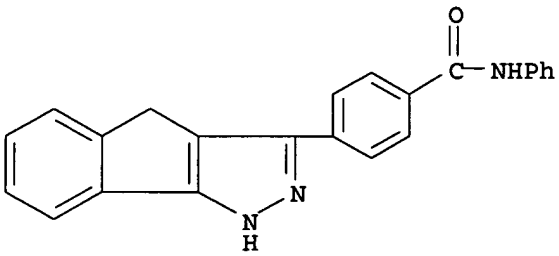
AB A method of inhibiting protein kinase activity comprises administration of title compds. [I; X = substituted methylene, CO, O, C:NOR7, NR8, (CH2)n, S, SO, SO2; n = 1-3; R1 = H; R2 = (substituted) aryl, pyridyl, thienyl, furyl, pyrrolyl; R3-R6 = H, OH, halo, CO2H, alkoxycarbonyl, (substituted) alkyl, alkoxy, PhO, etc.; R7 = H, alkyl; with provisos]. Thus, indan-1-one hydrazone (preparation given) in THF at 0° was treated with BuLi and then with Me 3,4,5-trimethoxybenzoate to give 3-(3,4,5-trimethoxyphenyl)-1,4-dihydroindeno[1,2-c]pyrazole.

IT **268559-78-0P 268559-84-8P 268561-04-2P 268561-06-4P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of tricyclic pyrazole derivs. as protein kinase inhibitors)

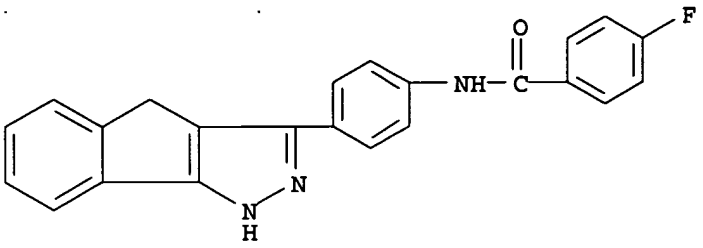
RN 268559-78-0 HCAPLUS
CN Benzamide, N-[4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



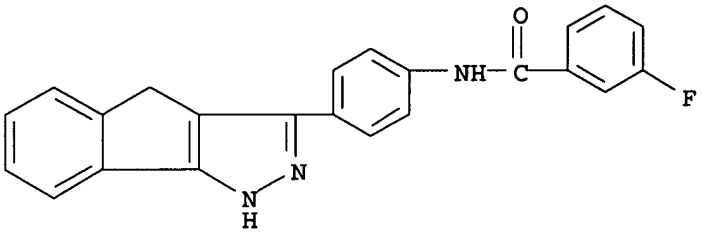
RN 268559-84-8 HCAPLUS
CN Benzamide, 4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 268561-04-2 HCAPLUS
CN Benzamide, N-[4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]-4-fluoro- (9CI) (CA INDEX NAME)



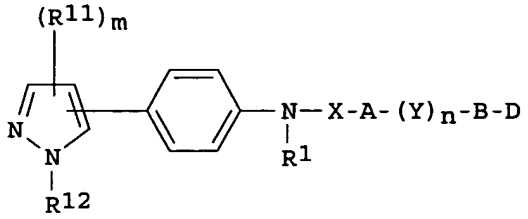
RN 268561-06-4 HCAPLUS
CN Benzamide, N-[4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]-3-fluoro-
(9CI) (CA INDEX NAME)



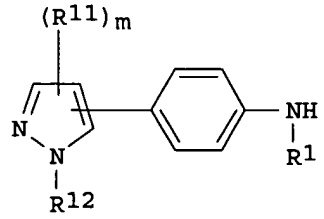
L61 ANSWER 16 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:247417 HCAPLUS
DN 132:265193
TI Preparation of phenylpyrazoles and hypolipidemic agents
IN Yamada, Hiroichi; Mochizuki, Nobuo; Uchida, Seiichi; Umeda, Nobihiro
PA Nippon Soda Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000109465	A2	20000418	JP 1999-221791	19990804 <--
PRAI	JP 1998-222159		19980805 <--		

OS CASREACT 132:265193; MARPAT 132:265193
GI



I

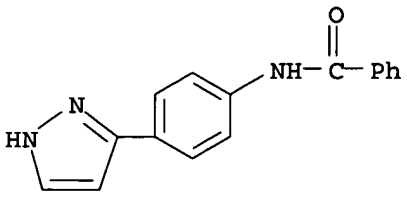


II

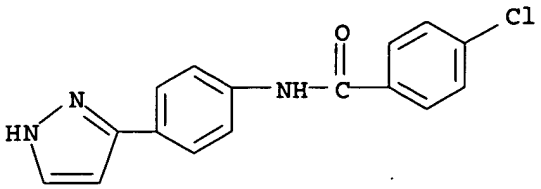
AB Title compds. I [R1 = H, C1-6 alkyl; X = CO, SO2; A = (CR3R2)p(CR4:CR5)q; B = (CR6R7)r; R2, R3, R6, R7 = H, cyano, OH, halo, C1-6 alkyl, C1-6 alkoxy etc.; R4, R5 = H, C1-6 alkyl, C1-6 haloalkyl, (un)substituted benzyl; p, r = 0-6; q = 0-1; Y = O, S, SO, SO2, CO, etc.; n = 0-1; D = (un)substituted Ph; naphthyl, tetrahydronaphthyl, indanyl; R11 = halo, C1-6 alkyl, C1-6 alkoxy; m = 0-2; R12 = H, C1-6 alkyl] or their pharmaceutically acceptable salts are prepared by dehydration of pyrazoles II (R1, R11, R12, m = same as I) with HO2CAYlBD (A, B, Y, D, n = same as I). 5-(4-Aminophenyl)pyrazole (1.59 g) was reacted with 3.09 g benzoyl chloride in the presence of NEt3 in DMF at room temperature for 20 h to give 1.31 g phenyl-N-[4-(pyrazol-5-yl)phenyl]carboxamide showing in vivo good hypolipidemic activity.

IT **263257-72-3P 263257-75-6P 263257-76-7P 263257-80-3P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; IMF (Industrial manufacture); SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of phenylpyrazoles by dehydration of aminophenylpyrazoles and carboxylic acids)

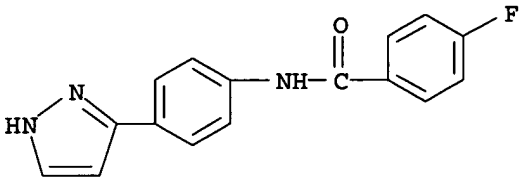
RN 263257-72-3 HCAPLUS
CN Benzamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



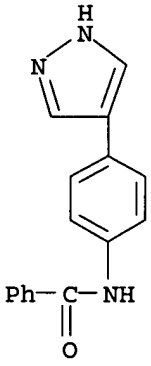
RN 263257-75-6 HCAPLUS
CN Benzamide, 4-chloro-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 263257-76-7 HCAPLUS
CN Benzamide, 4-fluoro-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)



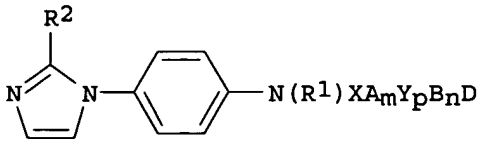
RN 263257-80-3 HCAPLUS
CN Benzamide, N-[4-(1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)



L61 ANSWER 17 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:121819 HCAPLUS
DN 132:161255
TI Phenylimidazole derivatives as antihyperlipidemics and antiarteriosclerotics
IN Mochizuki, Nobuo; Uchida, Seiichi; Yamada, Yuichi; Umeda, Nobihiro
PA Nippon Soda Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2000053570	A2	20000222	JP 1998-222158	19980805 <--
PRAI JP 1998-222158		19980805 <--		

OS MARPAT 132:161255
GI

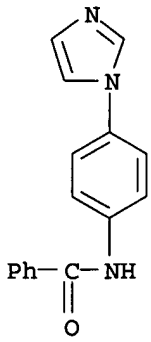


I

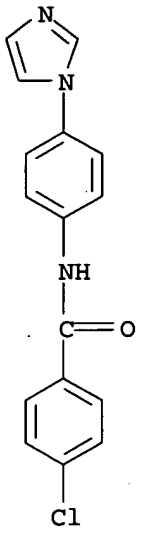
AB Phenylimidazole derivs. [I, R1 = H, Me; R2 = H, Me, Et, CF3, OMe, Cl; A = alkylene; B = CH2CH2; D = substituted phenyl; X = CO, SO2; Y = O, S, SO2, NMe, NH, N(CH2Ph), CONH, CON(Me); m, n, p = 0-1] and their pharmaceutically acceptable salts are claimed as antihyperlipidemics, and antiarteriosclerotics, with min. toxicity. I were prepared, and the acute toxicity of one of I was tested in rats. Examples of I tablets were formulated.

IT **259129-67-4P 259129-68-5P 259129-69-6P**
259129-73-2P 259129-76-5P 259129-77-6P
259129-78-7P 259129-79-8P 259129-80-1P
259129-81-2P 259129-82-3P 259129-83-4P
259129-84-5P 259129-88-9P 259129-90-3P
259130-01-3P 259130-11-5P 259130-12-6P
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(phenylimidazole derivs. as antihyperlipidemics and antiarteriosclerotics)

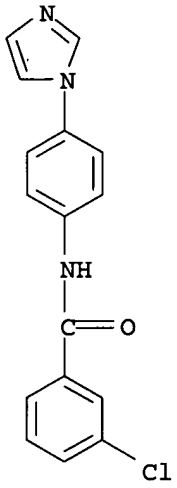
RN 259129-67-4 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



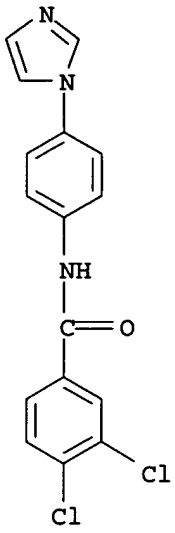
RN 259129-68-5 HCAPLUS
CN Benzamide, 4-chloro-N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



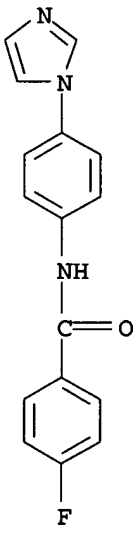
RN 259129-69-6 HCAPLUS
CN Benzamide, 3-chloro-N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



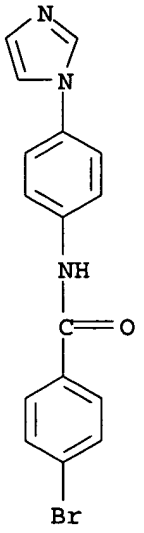
RN 259129-73-2 HCAPLUS
CN Benzamide, 3,4-dichloro-N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



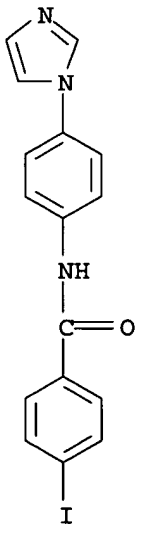
RN 259129-76-5 HCAPLUS
CN Benzamide, 4-fluoro-N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



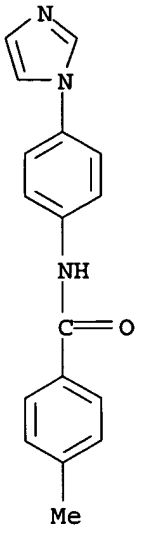
RN 259129-77-6 HCAPLUS
CN Benzamide, 4-bromo-N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



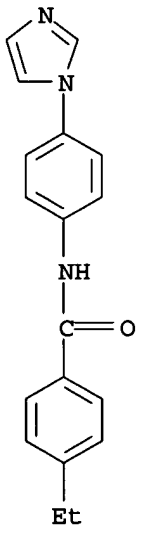
RN 259129-78-7 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]-4-iodo- (9CI) (CA INDEX NAME)



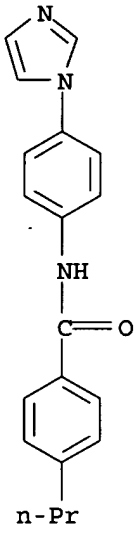
RN 259129-79-8 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



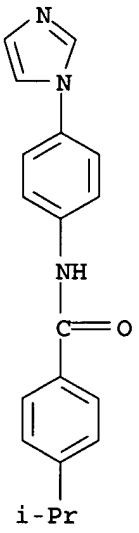
RN 259129-80-1 HCAPLUS
CN Benzamide, 4-ethyl-N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



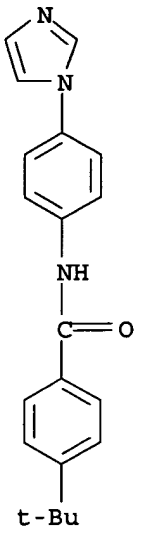
RN 259129-81-2 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]-4-propyl- (9CI) (CA INDEX NAME)



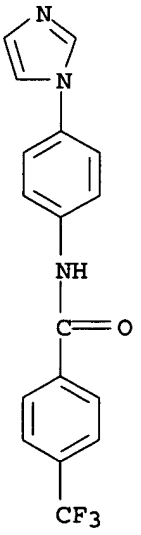
RN 259129-82-3 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



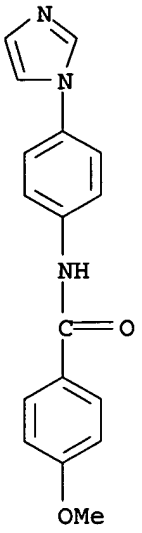
RN 259129-83-4 HCAPLUS
CN Benzamide, 4-(1,1-dimethylethyl)-N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



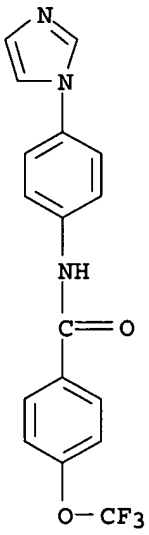
RN 259129-84-5 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



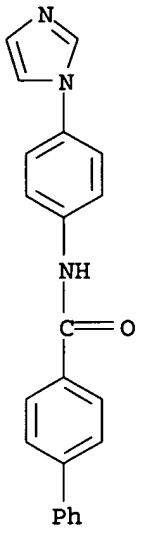
RN 259129-88-9 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



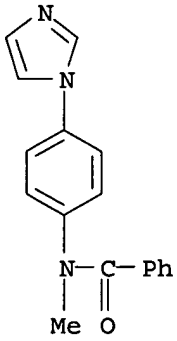
RN 259129-90-3 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



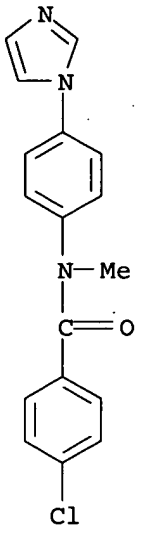
RN 259130-01-3 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 259130-11-5 HCAPLUS
CN Benzamide, N-[4-(1H-imidazol-1-yl)phenyl]-N-methyl- (9CI) (CA INDEX NAME)



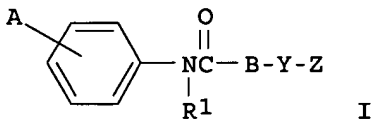
RN 259130-12-6 HCAPLUS
CN Benzamide, 4-chloro-N-[4-(1H-imidazol-1-yl)phenyl]-N-methyl- (9CI) (CA INDEX NAME)



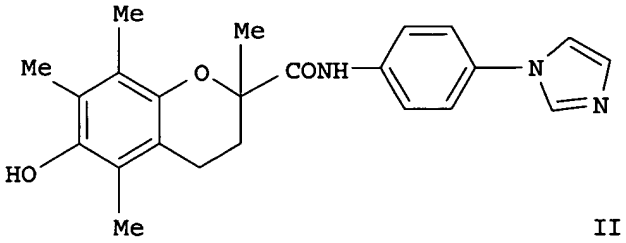
L61 ANSWER 18 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:98525 HCAPLUS
DN 132:137396
TI Phenylazole compounds, process for producing the same and drugs for hyperlipemia
IN Umeda, Nobuhiro; Mochizuki, Nobuo; Uchida, Seiichi; Nishibe, Tadayuki; Yamada, Hirokazu; Ito, Kunihito; Horikoshi, Hiromi
PA Nippon Soda Co., Ltd., Japan
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT **Patent**
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000006550	A1	20000210	WO 1999-JP4070	19990729 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2339123	AA	20000210	CA 1999-2339123	19990729 <--
	AU 9949297	A1	20000221	AU 1999-49297	19990729 <--
	AU 753360	B2	20021017		
	EP 1101759	A1	20010523	EP 1999-933152	19990729 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1131217	B	20031217	CN 1999-809019	19990729 <--
	JP 2000290280	A2	20001017	JP 1999-216581	19990730 <--
	JP 2000281656	A2	20001010	JP 1999-221789	19990804 <--
	JP 2000281658	A2	20001010	JP 1999-221790	19990804 <--
	US 6342516	B1	20020129	US 2001-744786	20010126 <--
PRAI	JP 1998-218316	A	19980731 <--		
	JP 1998-222157	A	19980805 <--		
	JP 1999-16846	A	19990126 <--		
	JP 1999-19670	A	19990128 <--		

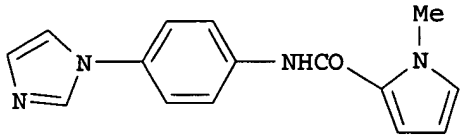
JP 1999-24318 A 19990201 <--
WO 1999-JP4070 W 19990729 <--
OS MARPAT 132:137396
GI



I



II

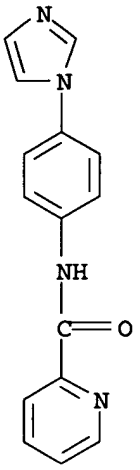


III

AB Phenylpyrazole and phenylimidazole compds. represented by general formula (I; wherein A represents (un)substituted imidazolyl or pyrazolyl; B represents (un)substituted (CH2)k or (CH:CH)k; Y = bond, O, S, SO2, CO, OCH2, C1-5 alkyl-(un)substituted NHCO or NH; Z = (un)substituted and saturated or unsatd. heterocycle containing 1 to 4 N, O or S atoms, (un)substituted benzoquinonyl or naphthoquinonyl) or pharmaceutically acceptable salts thereof are prepared Claimed are drugs for hyperlipemia which contain these compds. I as the active ingredient. Among all, compds. wherein Z is substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect of inhibiting the formation of lipid peroxides too. Thus, 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid, 1-(4-aminophenyl)imidazole 4.0, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 2.82, 1-hydroxybenzotriazole 2.72 g, and 2.5 mL Et3N were added to 30 mL DMF and stirred at room temperature for 20 h to give title compound (II). II and N-[4-(imidazol-1-yl)phenyl]-1-methyl-3-pyrrrolecarboxamide (III) at 25 mg/kg p.o. lowered total serum level of cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and 91%, resp. A tablet formulation containing I was prepared

IT **256661-40-2P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)

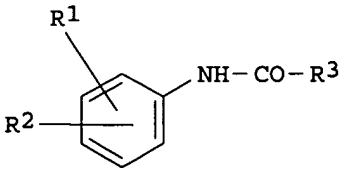
RN 256661-40-2 HCAPLUS
CN 2-Pyridinecarboxamide, N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



L61 ANSWER 19 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:804348 HCAPLUS
DN 132:49960
TI Preparation of amides as serotonin antagonists
IN Ito, Kiyotaka; Spiers, Glen W.; Takahashi, Fumie; Yamada, Akira; Toshima, Masaaki; Miyake, Hiroshi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

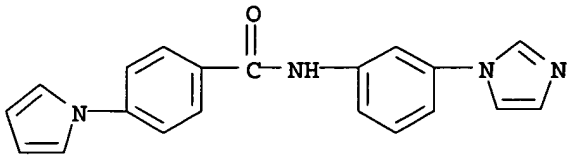
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 11349572	A2	19991221	JP 1999-98969	19990406 <--
PRAI AU 1998-2858		19980407 <--		

OS MARPAT 132:49960
GI

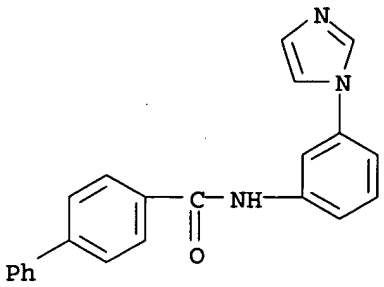


I

AB The title compds. I [R1 = (un)substituted heterocyclic ring; R2 = H, alkyl, etc.; R3 = (un)substituted pyridyl, etc.], useful as serotonin antagonists (no data), are prepared For example, N-[3-(imidazol-1-yl)phenyl]benzamide was prepared
IT **252927-75-6P 252928-26-0P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of amides as serotonin antagonists)
RN 252927-75-6 HCAPLUS
CN Benzamide, N-[3-(1H-imidazol-1-yl)phenyl]-4-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RN 252928-26-0 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



L61 ANSWER 20 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:126785 HCAPLUS
DN 130:173015
TI A novel pharmaceutical composition for inhalation containing CR 2039 (Andolast)
IN Makovec, Francesco; Senin, Paolo; Rovati, Lucio Claudio
PA Rotta Research Laboratorium S.p.A., Italy
SO Eur. Pat. Appl., 6 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

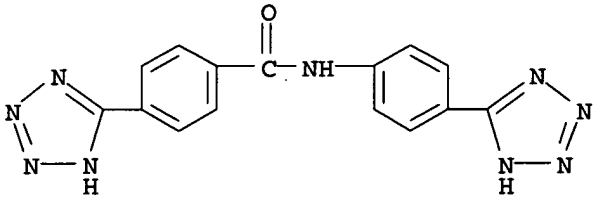
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 896821	A1	19990217	EP 1997-830417	19970808 <--
	EP 896821	B1	20030604		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	ES 2199336	T3	20040216	ES 1997-830417	19970808 <--
	AU 9877327	A1	19990218	AU 1998-77327	19980721 <--
	AU 735204	B2	20010705		
	CA 2244357	AA	19990208	CA 1998-2244357	19980730 <--
	JP 11106339	A2	19990420	JP 1998-222738	19980806 <--
	US 5976576	A	19991102	US 1998-129794	19980806 <--
PRAI	EP 1997-830417	A	19970808 <--		

AB N-[4-(1H-tetrazol-5-yl)]phenyl-4-(1H-tetrazol-5-yl)benzamide di-Na salt (CR 2039, Andolast) or another pharmaceutically acceptable salt of this antiallergic and antiasthmatic agent is formulated with a flavoring and optionally an inert carrier and/or sweetener as a dry powder for administration by oral inhalation. The flavoring (e.g. menthol, peppermint oil) prevents aggregation of particles of the micronized powder and thereby increases the respirable fine-particle fraction, and in addition it masks the bitter flavor of Andolast and thereby improves patient compliance. Thus, a composition for oral inhalation contained micronized Andolast 50, menthol 1.5, lactose 45.375, and micronized Na saccharin

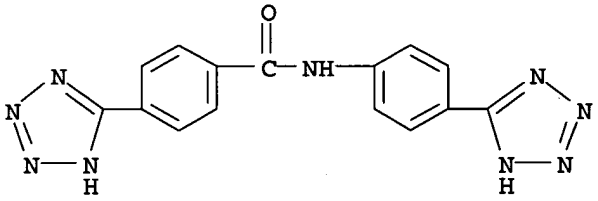
3.125 weight%.

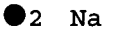
IT **132640-22-3D**, Andolast, salts **143330-46-5**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; **THU (Therapeutic use)**; **BIOL (Biological study)**; USES (Uses)
(pharmaceutical composition for inhalation containing CR 2039 (Andolast))

RN 132640-22-3 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143330-46-5 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]-, disodium salt (9CI) (CA INDEX NAME)





RETABLE

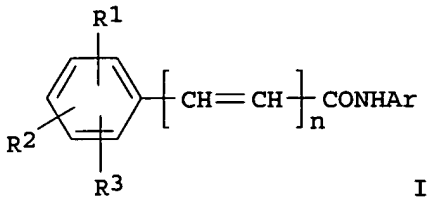
Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Anon	1993				HCAPLUS
Revel, L	1992	229	45	Eur J Pharmacol	HCAPLUS
Rotta Research Laborato				WO 9009989 A	HCAPLUS

L61 ANSWER 21 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:186322 HCAPLUS
DN 126:181358
TI Aromatic amides as mesoderm-derived cell proliferation inhibitors and drug preparations containing them
IN Isozaki, Masashi; Nakazawa, Keiichi; Kasukawa, Hiroaki
PA Terumo Corp, Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT **Patent**
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 09003019	A2	19970107	JP 1995-151886	19950619 <--
PRAI JP 1995-151886		19950619 <--		

OS MARPAT 126:181358

GI



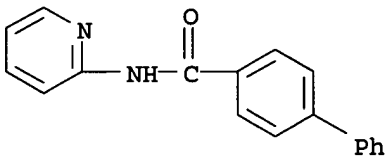
I

AB The aromatic amides I (R1-3 = H, alkyl, alkoxy, aryl, aryloxy; Ar = aryl; n = 0, 1) and drug prepns. containing I are claimed. I suppress growth of mesoderm-derived cells, e.g. smooth muscle cells, renal mesangial cells, fibroblasts, and are useful for treatment of cell proliferative fibrosclerosis, e.g. restenosis after PTCA and chronic glomerulonephritis. 2-(2,5-Dimethoxycinnamoylamino)thiazole (preparation given) suppressed fetal calf serum- or PDGF-stimulated growth of cultured rat arterial smooth muscle cells at IC50 7.2 + 10-5 or 1.9 + 10--6 mol/L, resp. LD50 of I was ≥320 mg/kg p.o. or i.v. in mice.

IT **187324-58-9P**
RL: **ADV (Adverse effect, including toxicity)**; **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of aromatic amides as mesoderm-derived cell proliferation inhibitors)

RN 187324-58-9 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



L61 ANSWER 22 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:630462 HCAPLUS

DN 125:275862

TI Preparation of 2-arylbenzoxazole and 2-arylbenzthiazole anticancer agents

IN Stevens, Malcolm Francis Graham; Shi, Dong-Fang; Bradshaw, Tracey Dawn; Wrigley, Samantha

PA Cancer Research Campaign Technology Limited, UK

SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2

DT **Patent**

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9626932	A1	19960906	WO 1996-GB440	19960228 <--

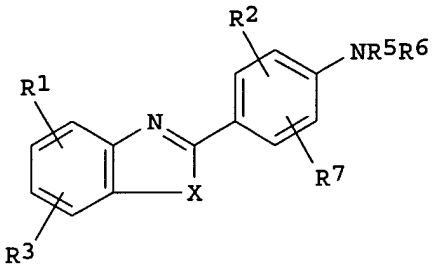
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,

					IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML												
	CA 2213737			AA	19960906					CA 1996-2213737					19960228	<--	
	AU 9648374			A1	19960918					AU 1996-48374					19960228	<--	
	AU 711052			B2	19991007												
	EP 812319			A1	19971217					EP 1996-904181					19960228	<--	
	EP 812319			B1	20020710												
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE																
	JP 11501024			T2	19990126					JP 1996-526096					19960228	<--	
	AT 220398			E	20020715					AT 1996-904181					19960228	<--	
	ES 2177760			T3	20021216					ES 1996-904181					19960228	<--	
	US 6034246			A	20000307					US 1997-919206					19970828	<--	
PRAI	GB 1995-3946			A	19950228	<--											
	WO 1996-GB440			W	19960228	<--											

OS MARPAT 125:275862

GI



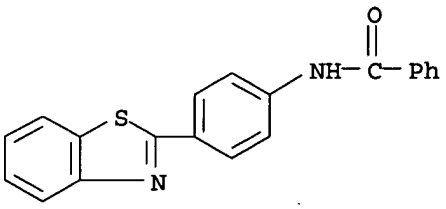
I

AB The title compds. [I; R1, R3 = H, alkyl OH, alkoxy, aralkoxy; R2 = H, NO2, NH2, halogen, alkyl, CN , (un)substituted alkyl oxysulfonyl; R5, R6 = H, alkyl, acyl, SO3M, etc.; M = mono- or divalent cation; R7 = H, 5'-halogen, 5'-alkyl; X = O, S], which exhibit selective cytotoxic activity against tumor cells and thus provide potentially useful chemotherapeutic agents for the selective treatment of a range of cancers, are prepared Thus, 2-(4-aminophenyl)benzothiazole was iodinated with ICl, producing 2-(4-amino-3-iodophenyl)benzothiazole (m.p. 143-144°), which demonstrated a IC50 of 0.1 μM against L23/P human lung cancer cells.

IT **182274-84-6P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of 2-arylbenzazole anticancer agents)

RN 182274-84-6 HCAPLUS

CN Benzamide, N-[4-(2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)



L61 ANSWER 23 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:596172 HCAPLUS

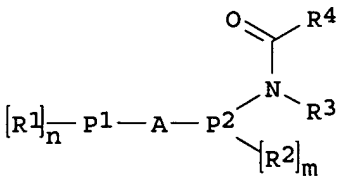
DN 125:247613

TI Preparation of indolines as 5-HT2B/2C receptor antagonists

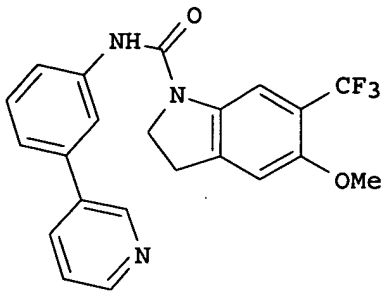
IN Gaster, Laramie Mary; Wyman, Paul Adrian; Mulholland, Keith Raymond; Davies, David Thomas; Duckworth, David Malcom; Forbes, Ian Thomson; Jones, Graham Elgin
PA Smithkline Beecham Plc, UK
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9623783	A1	19960808	WO 1996-EP368	19960126	<--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI					
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE					
	CA 2212061	AA	19960808	CA 1996-2212061	19960126	<--
	AU 9646646	A1	19960821	AU 1996-46646	19960126	<--
	AU 699727	B2	19981210			
	BR 9607016	A	19971028	BR 1996-7016	19960126	<--
	EP 808312	A1	19971126	EP 1996-902259	19960126	<--
	EP 808312	B1	20001102			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI					
	CN 1179156	A	19980415	CN 1996-192777	19960126	<--
	JP 10513442	T2	19981222	JP 1996-523247	19960126	<--
	RO 115522	B3	20000330	RO 1997-1439	19960126	<--
	AT 197300	E	20001115	AT 1996-902259	19960126	<--
	ES 2151652	T3	20010101	ES 1996-902259	19960126	<--
	PT 808312	T	20010330	PT 1996-902259	19960126	<--
	PL 184490	B1	20021129	PL 1996-321706	19960126	<--
	ZA 9600758	A1	19970930	ZA 1996-758	19960131	<--
	IL 116998	A1	20010808	IL 1996-116998	19960201	<--
	FI 9703205	A	19971001	FI 1997-3205	19970801	<--
	NO 9703543	A	19971001	NO 1997-3543	19970801	<--
	US 5990133	A	19991123	US 1997-875506	19971016	<--
	HK 1003883	A1	20010831	HK 1998-103018	19980409	<--
	US 6235758	B1	20010522	US 1999-359606	19990723	<--
	GR 3035075	T3	20010330	GR 2000-402763	20001213	<--
	US 2003105139	A1	20030605	US 2001-767245	20010122	<--
	US 6638953	B2	20031028			
PRAI	GB 1995-2052	A	19950202	<--		
	GB 1995-8327	A	19950425	<--		
	GB 1995-8967	A	19950503	<--		
	GB 1995-16845	A	19950817	<--		
	GB 1995-17542	A	19950826	<--		
	GB 1995-18574	A	19950912	<--		
	WO 1996-EP368	W	19960126	<--		
	US 1997-875506	A3	19971016	<--		
	US 1999-359606	A3	19990723	<--		

OS CASREACT 125:247613; MARPAT 125:247613
GI



I



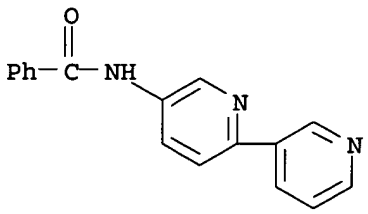
II

AB The title compds. [I; P1, P2 = Ph, aromatic or partially saturated monocyclic or bicyclic heterocyclic ring; A = bond, (substituted) C1-5 alkylene, etc.; R1, R2 = H, (substituted) C1-6 alkyl, C2-6 alkenyl, etc.; R3 = H, C1-6 alkyl; R4 = 1-indolinyl, etc.; n, m = 0-2], useful in the treatment of CNS disorders such as anxiety, were prepared Thus, treatment of 3-(3-pyridyl)aniline with 1,1-dicarbonyldiimidazole in CH2Cl2 followed by reaction of the intermediate with 5-methoxy-6-trifluoromethylindoline in DMF afforded 85% the indoline II which showed pKi of 5.8-9.7 against [3H]-mesulergine binding to rat or human 5-HT2C clones expressed in 293 cells in vitro.

IT **181632-48-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indolines as 5-HT2B/2C receptor antagonists)

RN 181632-48-4 HCAPLUS

CN Benzamide, N-[2,3'-bipyridin]-5-yl- (9CI) (CA INDEX NAME)



L61 ANSWER 24 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:255562 HCAPLUS

DN 122:187375

TI Benzofuran derivatives and their use as stabilizers against UV radiation

IN Raspanti, Giuseppe

PA 3V Inc., USA

SO U.S., 6 pp.
CODEN: USXXAM

DT **Patent**

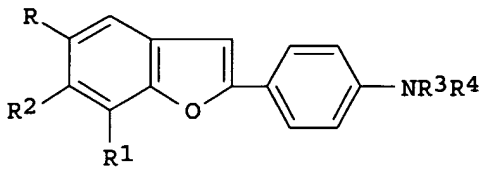
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5362481	A	19941108	US 1993-102801	19930806 <--
	US 5468470	A	19951121	US 1994-286957	19940808 <--
PRAI	US 1993-102801		19930806 <--		

OS MARPAT 122:187375

GI



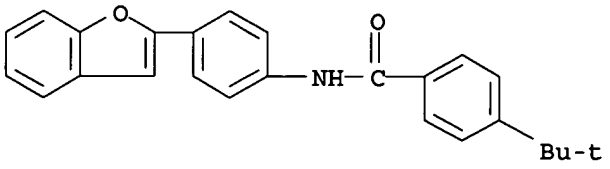
I

AB Compds. of the general formula I wherein R and R1 are hydrogen or a C1 -C8 straight or branched alkyl group, R2 is hydrogen or a C1 -C4 alkoxy group, R3 is hydrogen or a C1-C18 straight or branched alkyl group, R4 is, e.g, a group of formula COR5, CONHR6, CO2R7, wherein R5 is, e.g., a C2-C17 straight or branched alkyl group, C5-C8 cycloalkyl or C6-C12 aryl group, optionally substituted with C1-C4 alkyl groups, hydroxy, C1-C18 alkoxy, R6 and R7 are a C1-C18 straight or branched alkyl group or a C5-C8 cycloalkyl group, have stabilizing activity against UV radiation and are useful in cosmetics and dermatol. A sunscreen cream formulation was given.

IT **158440-92-7P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(benzofuran derivs. and their use as stabilizers against UV radiation)

RN 158440-92-7 HCAPLUS

CN Benzamide, N-[4-(2-benzofuranyl)phenyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



L61 ANSWER 25 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:630663 HCAPLUS

DN 121:230663

TI Preparation of 2-(4-aminophenyl)benzofurans as sunscreens and light stabilizers

IN Raspanti, Giuseppe

PA 3V SIGMA S.p.A., Italy

SO Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW

DT **Patent**

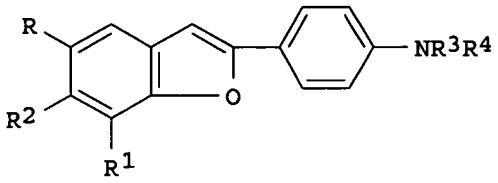
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 613893	A1	19940907	EP 1994-102575	19940221 <--
R: BE, DE, ES, FR, GB, NL				
PRAI IT 1993-MI421		19930305 <--		

OS MARPAT 121:230663

GI



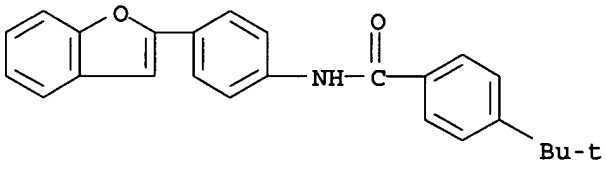
I

AB Title compds. [I; R,R1 = H, alkyl; R2 = H, alkoxy; R3 = H, C1-18 alkyl; R4 = C1-18 alkyl, COR5, CONHR6, CO2R7, etc.; R5 = (cyclo)alkyl, aryl, etc.; R6,R7 = (cyclo)alkyl] were prepared Thus, 2-(4-aminophenyl)benzofuran was treated with (MeO)2SO2 to give 2-[4-(N,N-diethylamino)phenyl]benzofuran. A sun cream formulation comprising I was given.

IT **158440-92-7**
RL: **BUU (Biological use, unclassified)**; MOA (Modifier or additive use); **BIOL (Biological study)**; USES (Uses)
(preparation of 2-(4-aminophenyl)benzofurans as sunscreens and light stabilizers)

RN 158440-92-7 HCAPLUS

CN Benzamide, N-[4-(2-benzofuranyl)phenyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



L61 ANSWER 26 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:30775 HCAPLUS

DN 120:30775

TI Bistetrazol derivative having an antiallergic and cytoprotective activity

IN Makovec, Francesco; Peris, Walter; Rovati, Lucio Claudio; Rovati, Luigi Angelo

PA Rotta Research Laboratorium S.p.A., Italy

SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DT **Patent**

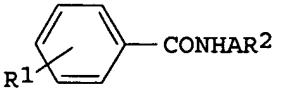
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9316053	A1	19930819	WO 1993-EP326	19930211 <--
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI IT 1992-TO114		19920213 <--		

OS MARPAT 120:30775

GI



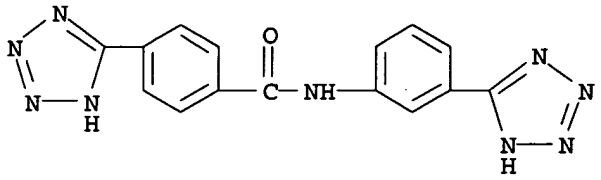
I

AB Title compds. I (A = benzene or pyridine ring; R2, R2 = 1H-tetrazol-5-yl,

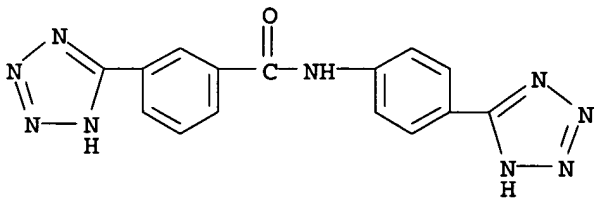
and in which if R1 = o- or m position in the benzene group, R2 is the o-, m- or p position in ring A, whereas if R1 is in the p position in the benzamide group, R2 can be in the o or m position in ring A) and a salt thereof, are prepared. NaN3 and NH4Cl were added to N-(4-cyanophenyl)-3-cyanobenzamide (preparation given) and reacted at 100° for 24 h to give I [R1 = 3-(1H-tetrazol-5-yl), A = C6H4, R2 = 4-(1H-tetrazol-5-yl] (II). The antiallergy was demonstrated with II at ID50 0.05 mg/kg, and cytoprotective activity in ulcers at ID50 0.6 mg/kg.

IT **143330-33-0P 143330-36-3P 151600-37-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of allergy and cytoprotective agents)

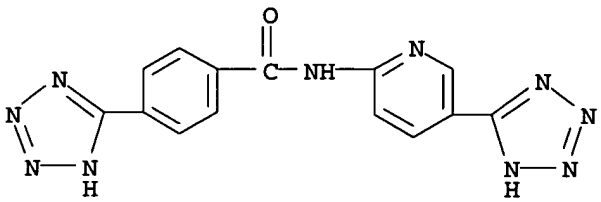
RN 143330-33-0 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[3-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143330-36-3 HCAPLUS
CN Benzamide, 3-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 151600-37-2 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[5-(1H-tetrazol-5-yl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

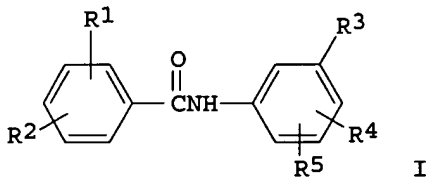


L61 ANSWER 27 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:449414 HCAPLUS
DN 119:49414
TI Preparation of benzanilide derivatives as 5-HT1d antagonists
IN Oxford, Alexander William; Mitchell, William Leonard; Bradshaw, John; Clitherow, John Watson
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 533267	A1	19930324	EP 1992-202805	19920914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
WO 9306084	A1	19930401	WO 1992-EP2136	19920914 <--
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9225687	A1	19930427	AU 1992-25687	19920914 <--
HU 70516	A2	19951030	HU 1994-759	19920914 <--
CA 2078507	AA	19930319	CA 1992-2078507	19920917 <--
AU 9224528	A1	19930325	AU 1992-24528	19920917 <--
CN 1073430	A	19930623	CN 1992-111661	19920917 <--
ZA 9207106	A	19940317	ZA 1992-7106	19920917 <--
JP 06107637	A2	19940419	JP 1992-273660	19920917 <--
US 5358948	A	19941025	US 1992-946099	19920917 <--
CN 1089944	A	19940727	CN 1993-100710	19930109 <--
FI 9401261	A	19940317	FI 1994-1261	19940317 <--
NO 9400974	A	19940317	NO 1994-974	19940317 <--

PRAI GB 1991-19932 19910918 <--
WO 1992-EP2136 19920914 <--
OS MARPAT 119:49414
GI

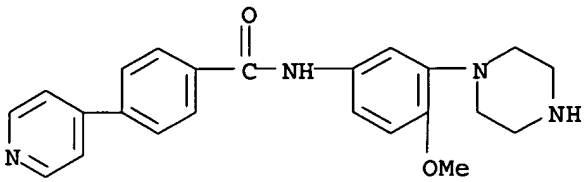


I

AB Piperazinobenzanilides I [R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2 = pyridinyl group (un)substituted by one or two substituents selected from halo, C1-6 alkyl, hydroxy C1-6 alkyl, C1-6alkoxyC1-6 alkyl, C1-6 alkoxy, OH, -CN, NO2, CO2R6, COR6, CONR6R7, (CH2)mOC(O)C1-4 alkyl (R6, R7 = H, C1-6 alkyl, m = integers 1-3); R3 = certain 4-substituted piperazino derivs.; R4, R5 (same or different) each independently = H, halo, OH, C1-6 alkoxy, C1-6 alkyl], and their physiol. acceptable salts or solvates, were prepared Compds. I exhibit 5-HT1d antagonist activity, and are claimed for treatment or prophylaxis of depression and other central nervous system disorders and for Parkinson's disease. Pharmaceutical compns. comprising compds. I are described.

IT **148547-35-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and 5-HT1D antagonist activity of)

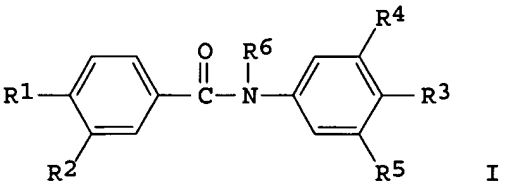
RN 148547-35-7 HCAPLUS
CN Benzamide, N-[4-methoxy-3-(1-piperazinyl)phenyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L61 ANSWER 28 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:121761 HCAPLUS
DN 114:121761
TI Preparation of N-phenylbenzamides as anti-ulcer and anti-allergy agents
IN Makovec, Francesco; Peris, Walter; Rovati, Angelo Luigi
PA Rotta Research Laboratorium S.p.A., Italy
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9009989	A1	19900907	WO 1990-EP270	19900219 <--
	W: AU, CA, HU, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
	CA 2046874	AA	19900823	CA 1990-2046874	19900219 <--
	CA 2046874	C	19990323		
	AU 9051756	A1	19900926	AU 1990-51756	19900219 <--
	AU 627285	B2	19920820		
	EP 460083	A1	19911211	EP 1990-904335	19900219 <--
	EP 460083	B1	19940608		
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	HU 60464	A2	19920928	HU 1990-2321	19900219 <--
	HU 207986	B	19930728		
	AT 106863	E	19940615	AT 1990-904335	19900219 <--
	ES 2055424	T3	19940816	ES 1990-904335	19900219 <--
	ZA 9001316	A	19901128	ZA 1990-1316	19900221 <--
	DD 294477	A5	19911002	DD 1990-338029	19900221 <--
	US 5232937	A	19930803	US 1991-752435	19910819 <--
PRAI	IT 1989-67119		19890222 <--		
	EP 1990-904335		19900219 <--		
	WO 1990-EP270		19900219 <--		

OS MARPAT 114:121761
GI



I

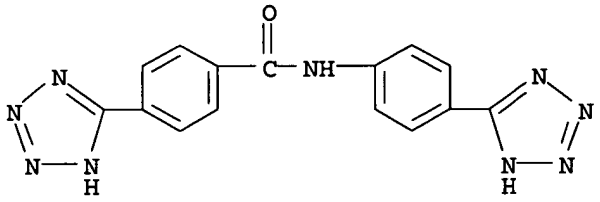
AB Title N-phenylbenzamides I (R1 = cyano, NO2, halo, OH, C1-4 alkyl, OMe, tetrazol-5-yl; R2 = H, OH, OMe; R3 = H, tetrazol-5-yl; R4, R5 = CO2H, CO2Me, CO2Et, CONH2 if R3 = H, or R4R5 = H if R3 = tetrazol-5-yl; R6 = H, Me), useful as anti-ulcer and anti-allergy agents, were prepared For example, reaction of 4-aminobenzonitrile with 4-cyanobenzoyl chloride in

the presence of Et3N in THF gave N-(4-cyanophenyl)-4-cyanobenzamide. Subsequent cyclocondensation with NaN3 gave I (R1, R3 = tetrazol-5-yl, R2, R4, R5, R6 = H) (II). The ED50 of II against EtOH-induced stomach ulcers in rats was 3 mg/kg i.v., compared to cimetidine, which was inactive. II was also effective against NaCl- and stress-induced stomach ulcers. Antiallergy activity was also shown for II.

IT **132640-22-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anti-ulcer and anti-allergy drug)

RN 132640-22-3 HCAPLUS

CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



L61 ANSWER 29 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:76956 HCAPLUS

DN 112:76956

TI Preparation of tertiary-butylphenylcarbamoylpyridines as cardiovascular agents

IN Von der Saal, Wolfgang; Mertens, Alfred; Zilch, Harald; Boehm, Erwin; Martin, Ulrich

PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 13 pp.
CODEN: GWXXBX

DT **Patent**

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3804346	A1	19890824	DE 1988-3804346	19880212 <--
PRAI DE 1988-3804346		19880212 <--		

OS CASREACT 112:76956; MARPAT 112:76956

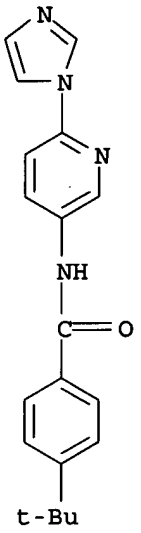
GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, halo, OH, alkoxy, alkenyloxy, alkynyloxy, cycloalkoxy, cycloalkenyloxy, alkylthio, imidazolyl, triazolyl, morpholinyl, thiomorphilinyl, (substituted) pyridinyloxy, pyridinylthio, quinolinyloxy, naphthyloxy, indolyloxy, oxindolyloxy, etc.; A-B = CONH, NHCO]; useful as cardiovascular agents (no data), were prepared Thus, 4-Me3CC6H4COCl in CH2Cl2 was added to 5-amino-2-(1-cyanophenyloxy)pyridine and Et3N in CH2Cl2 with ice cooling. The mixture was stirred 10 min at room temperature to give 23% 4-tert-butyl-N-[6(4-cyanophenyloxy)-3-pyridinyl)benzamide.

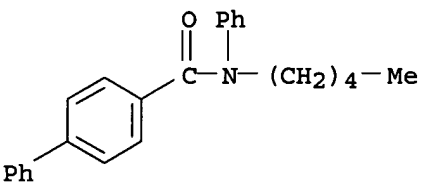
IT **125125-25-9P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 125125-25-9 HCAPLUS

CN Benzamide, 4-(1,1-dimethylethyl)-N-[6-(1H-imidazol-1-yl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



L61 ANSWER 30 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:5624 HCAPLUS
DN 108:5624
TI Reaction of O-benzyl-N-methylenehydroxylamine with organolithium compounds, a CH2+-NH+ synthetic equivalent
AU Basha, Anwer; Brooks, Dee W.
CS Pharm. Prod. Div., **Abbott** Lab., **Abbott** Park, IL, 60064, USA
SO Journal of the Chemical Society, Chemical Communications (1987), (4), 305-6
CODEN: JCCCAT; ISSN: 0022-4936
DT Journal
LA English
OS CASREACT 108:5624
AB Lithium carbanions, e.g., BuLi, add sequentially to CH2:NOCH2Ph, first at the electrophilic carbon and subsequently, at higher temperature, on the nitrogen with concomitant loss of the benzyloxy group, resulting in a CH2+NH+ synthetic equivalent E.g., BuCH2NBuBz was produced after quenching with BzCl.
IT **111735-29-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 111735-29-6 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-pentyl-N-phenyl- (9CI) (CA INDEX NAME)

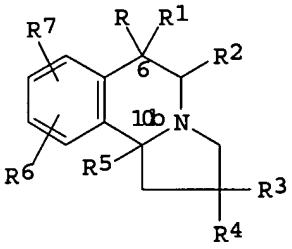


L61 ANSWER 31 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:487783 HCAPLUS
DN 103:87783
TI Hexahydropyrrolo[2,1-a]isoquinoline derivatives
IN Maryanoff, Bruce E.

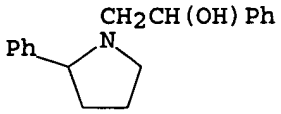
PA McNeilab, Inc., USA
SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	EP 130069	A2	19850102		EP 1984-304247	19840622	<--
	EP 130069	A3	19850911				
	EP 130069	B1	19901212				
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE						
	US 4595688	A	19860617		US 1984-611646	19840518	<--
	ZA 8404402	A	19860129		ZA 1984-4402	19840611	<--
	NO 8402345	A	19841227		NO 1984-2345	19840612	<--
	NO 164474	B	19900702				
	NO 164474	C	19901010				
	CA 1253155	A1	19890425		CA 1984-456578	19840614	<--
	FI 8402533	A	19841224		FI 1984-2533	19840621	<--
	FI 76798	B	19880831				
	FI 76798	C	19881212				
	DK 8403051	A	19841224		DK 1984-3051	19840622	<--
	AU 8429777	A1	19850103		AU 1984-29777	19840622	<--
	AU 563990	B2	19870730				
	HU 34478	A2	19850328		HU 1984-2443	19840622	<--
	HU 193937	B	19871228				
	ES 533657	A1	19851001		ES 1984-533657	19840622	<--
	AT 59041	E	19901215		AT 1984-304247	19840622	<--
	JP 60069082	A2	19850419		JP 1984-129917	19840623	<--
	US 4719216	A	19880112		US 1986-839746	19860314	<--
PRAI	US 1983-507250		19830623	<--			
	US 1984-611646		19840418	<--			
	EP 1984-304247		19840622	<--			

OS CASREACT 103:87783
GI



I



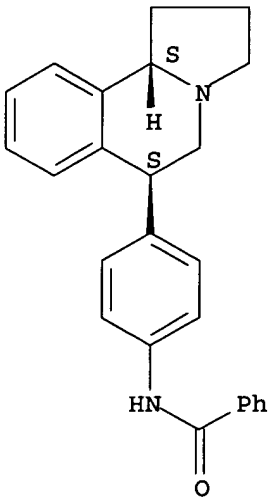
II

AB Title compds. I [R = furanyl, thienyl, C5-7 cycloalkyl, (un)substituted Ph; R1 = H, F, OH, alkyl, alkoxy; R2 = H, Me, Ph; R3-R5 = H, alkyl; R6, R7 = H, OH, halo, alkyl, alkoxy; R6R7 = OCH2O], including diastereomers, were prepared Thus, m-(trifluoromethyl)styrene oxide was treated with 2-phenylpyrrolidine to give pyrrolidinylethanol II, which was cyclized with polyphosphoric acid to give a 3:1 mixture of [6α,10aα]- and [6α,10aβ]-I (R = 3-F3CC6H4, R1-R7 = H) which were separated by preparative HPLC (CHCl3-EtOAc, 9:1). The 6α,10aβ-isomer antagonized tetrabenazine-induced ptosis and decreased exploratory activity in mice with ED50s of 0.43 and 1.20 mg/kg i.p., resp.

IT **96786-46-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 96786-46-8 HCAPLUS
CN Benzamide, N-[4-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-6-yl)phenyl]-, cis- (9CI) (CA INDEX NAME)

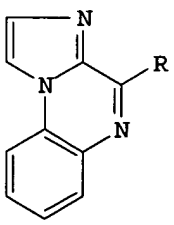
Relative stereochemistry.



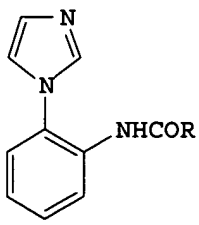
L61 ANSWER 32 OF 32 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:495298 HCAPLUS
DN 93:95298
TI Reducing immunological response
IN Warner, Paul L., Jr.; Luber, Edward J., Jr.
PA Westwood Pharmaceuticals, Inc., USA
SO U.S., 28 pp. Cont.-in-part of U.S. Ser. No. 756,640, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4191766	A	19800304	US 1977-858512	19771208 <--
PRAI US 1977-756640		19770107 <--		

GI



I



II

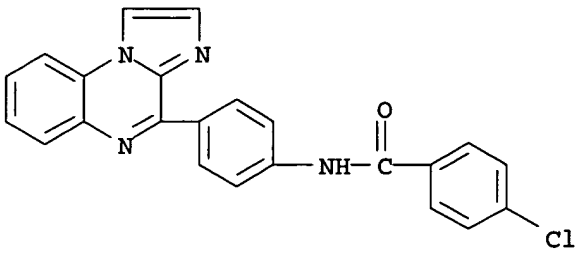
AB Imidazo[1,2-a]quinoxalines (I, R = H, alkyl, substituted alkyl, cycloalkyl, Ph containing groups, etc., or NHR1, R1 = alkyl, cycloalkyl, or Ph containing groups) were prepared by cyclization of the corresponding II in the presence of POCl3. II were prepared by acylation of 1-(2-aminophenyl)imidazole (III) or, for the preparation of II (R = NHAr), by

reaction of ArNCO with III. A number of compds. exhibited antifungal and antiinflammatory activity. Those I exhibiting especially good immunosuppressant activity for cell-mediate immune response were (R given): 4-MeC6H4, 4-PhC6H4, 4-Me3CC6H4, 4-ClC6H4, 3-ClC6H4, 3,4-Cl2C6H3, 4-BrC6H4, 4-FC6H4, 4-O2NC6H4, 3-BrC6H4, 4-IC6H4, 3,4-Cl2C6H3NH, and 4-BrC6H4NH.

IT **68008-96-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68008-96-8 HCAPLUS

CN Benzamide, 4-chloro-N-(4-imidazo[1,2-a]quinoxalin-4-ylphenyl)- (9CI) (CA INDEX NAME)



=> => d bib abs hitstr retable tot l62

L62 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:725361 HCAPLUS

DN 138:313901

TI On the relationship between the substitution pattern of thiobenzanilides and their antimycobacterial activity

AU Kunes, Jiri; Balsanek, Vojtech; Pour, Milan; Waisser, Karel; Kaustova, Jarmila

CS Faculty of Pharmacy, Department of Inorganic and Organic Chemistry, Charles University, Hradec Kralove, CZ-500 05, Czech Rep.

SO Farmaco (2002), 57(9), 777-782
CODEN: FRMCE8; ISSN: 0014-827X

PB Editions Scientifiques et Medicales Elsevier

DT Journal

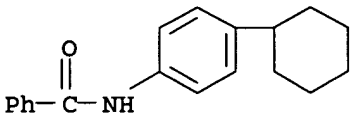
LA English

AB The goal of this work was to shed more light on a preliminary finding about the relationship between the substitution in the thioacyl part of thiobenzanilides and their antituberculous effect. Thus, we prepared a set of 14 derivs., out of which eight had not yet been reported, and the compds. were evaluated for antimycobacterial activity on a panel of four Mycobacteria species, including Mycobacterium tuberculosis CNCTC My 331/88, Mycobacterium kansasii CNCTC My 235/80, Mycobacterium avium CNCTC My 330/88 and M. kansasii 6509/96. While the contribution of the substituents with differing electronic and lipophilicity characteristics in position 3 to the antituberculous activity was negligible, we found that unsubstituted position 4 in the thioacyl part appears to be a prerequisite for a thiobenzanilide derivative to possess appreciable biol. activity.

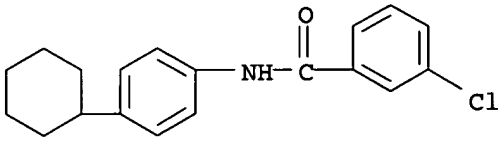
IT **147701-80-2P 512778-69-7P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(relationship between the substitution pattern of thiobenzanilides and their antimycobacterial activity)

RN 147701-80-2 HCAPLUS

CN Benzamide, N-(4-cyclohexylphenyl)- (9CI) (CA INDEX NAME)



RN 512778-69-7 HCAPLUS
CN Benzamide, 3-chloro-N-(4-cyclohexylphenyl)- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Kunes, J	1997	62	1503	Collect Czech Chem C	HCAPLUS
Topliss, J	1977	20	463	J Med Chem	HCAPLUS
Waisser, K	1993	58	205	Collect Czech Chem C	HCAPLUS

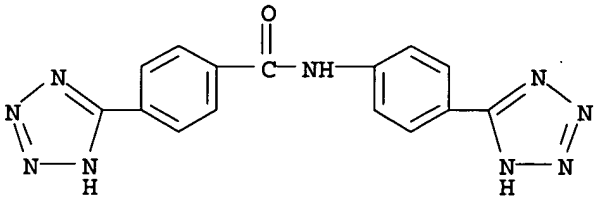
L62 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:920150 HCAPLUS
DN 136:193625
TI Pharmacokinetics of andolast after administration of single escalating doses by inhalation in mild asthmatic patients
AU Persiani, S.; D'Amato, M.; Makovec, F.; Arshad, S. H.; Holgate, S. T.; Rovati, L. C.
CS Rotta Research Laboratorium, S.p.A., Monza, 20052, Italy
SO Biopharmaceutics & Drug Disposition (2001), 22(2), 73-81
CODEN: BDDID8; ISSN: 0142-2782
PB John Wiley & Sons Ltd.
DT Journal
LA English
AB The pharmacokinetics of andolast, a new tetrazolyl-benzamido derivative with antiallergic, antiinflammatory, mucosal protective and antisecretive activities, were investigated in patients suffering from mild asthma (FEVt ≥ 70% of predicted) in whom obstruction was reversible (FEVt increase ≥ 15% of initial) after the administration of 0.2 mg of salbutamol by inhalation. Twelve out-patients (seven males and 5 females) were enrolled in the present study and were treated with a single dose of andolast of 2, 4 and 8 mg by inhalation using the MIAT Monohaler device according to a randomized crossover design. Plasma samples were collected before drug administration and up to 540 min after dosing. Andolast plasma concns. were determined using a validated LC-MS/MS method with a limit of quantitation of 0.2 ng ml-1. Pharmacokinetic anal. was carried out using standard non-compartmental methods. In addition, andolast safety and tolerability were evaluated by performing standard laboratory tests, by recording vital signs and ECGs and by monitoring the occurrence of adverse events throughout the study period. Andolast was absorbed after inhalation and was available to the systemic circulation. The mean peak plasma concns. were 6.3, 10.9 and 30.5 ng ml-1 at the three doses, resp., and occurred at 30, 52.5 and 30 min (median tmax). The mean AUCt values were 1852, 2889 and 7677 ng min ml-1. The apparent plasma clearance (CL/F) and volume of distribution (Vz/F) were, resp., 1168 mL min-1 and 430 l at the dose of 2 mg, 1143 mL min-1 and 468 l at the dose of 4 mg, and 1141 mL min-1 and 486

l at the dose of 8 mg. The apparent elimination half-life averaged 4.5, 5.0 and 4.6 h at the three doses, resp. Even though the small number of subjects participating in the present study reduced the power of the statistical test, there was no statistically significant evidence of non-proportionality for all the andolast pharmacokinetic parameters calculated at the three doses. Thus, the data obtained as a whole suggest that andolast pharmacokinetics are dose-independent in the dose range investigated. Finally, the safety and tolerability of the drug administered to mild asthmatic patients was good up to the maximum investigated dose of 8 mg.

IT **132640-22-3**, Andolast
RL: **PKT (Pharmacokinetics)**; **THU (Therapeutic use)**; **BIOL (Biological study)**; USES (Uses)
(pharmacokinetics of andolast after administration of single escalating doses by inhalation in mild asthmatic patients)

RN 132640-22-3 HCAPLUS

CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Abraham, W	1988	4	237	Pediatr Pulmunol	MEDLINE
Aswania, O	1988	54	475	Eur J Clin Pharmacol	
Barnes, P	1986	1	242	The Lancet	MEDLINE
Borgstroem, L	1996	153	1636	Am J Respir Crit Car	
Church, M	1980	70	307	Br J Pharmacol	HCAPLUS
Clark, D	1996	41	247	Br J Clin Pharmacol	HCAPLUS
Clark, D	1996	51	325	Thorax	MEDLINE
Hindle, M	1994	49	549	Thorax	MEDLINE
Houston, B	1988	6	47	Drug Metab Drug Inte	
Lipworth, B	1988	46	45	Br J Clin Pharmacol	
Lipworth, B	1996	42	697	Br J Clin Pharmacol	HCAPLUS
Lipworth, B	1997	53	47	Br J Clin Pharmacol	HCAPLUS
Makovec, F	1992	35	3633	J Med Chem	HCAPLUS
Mechlor, R	1993	48	506	Thorax	
Neale, M	1986	22	373	Br J Clin Pharmacol	HCAPLUS
Neale, M	1987	24	493	Br J Clin Pharmacol	MEDLINE
Pandit, A	1997		A59	The Lancet Conferenc	
Revel, L	1992		273	Asthma treatment- a	HCAPLUS
Revel, L	1992	229	45	Eur J Pharmacol	HCAPLUS
Richards, R	1998	1	896	Eur Respir J	
Serafin, W	1986		659	Pharmacological Basi	
Summers, Q	1990	3	190	Pulmonary Pharmacol	MEDLINE
Thorsson, L	1994	7	1839	Eur Resp J	MEDLINE
Weiss, E	1993			Bronchial Asthma 3rd	
Woolcock, A	1988	138	730	Am Rev Respir Dis	

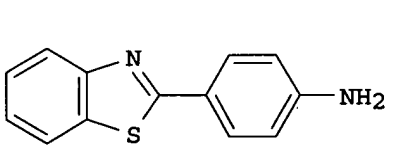
L62 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:59397 HCAPLUS
DN 130:261585
TI Antitumor Benzothiazoles. 7. Synthesis of 2-(4-

Acylaminophenyl)benzothiazoles and Investigations into the Role of Acetylation in the Antitumor Activities of the Parent Amines

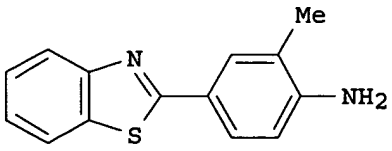
AU Chua, Mei-Sze; Shi, Dong-Fang; Wrigley, Samantha; Bradshaw, Tracey D.; Hutchinson, Ian; Shaw, P. Nicholas; Barrett, David A.; Stanley, Lesley A.; Stevens, Malcolm F. G.
CS Cancer Research Laboratories, School of Pharmaceutical Sciences, University of Nottingham, Nottingham, NG7 2RD, UK

SO Journal of Medicinal Chemistry (1999), 42(3), 381-392
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English

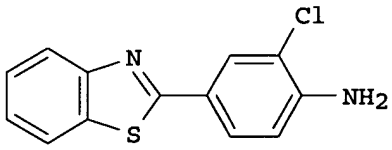
GI



I



II

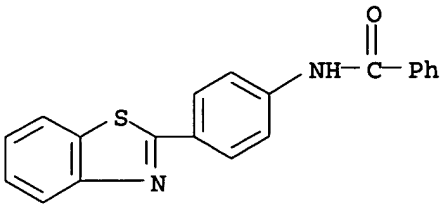


III

AB 2-(4-Aminophenyl)benzothiazoles display potent and selective antitumor activity against inter alia breast, ovarian, colon, and renal cell lines, but their mechanism of action, though yet to be defined, may be novel. Metabolism is suspected to play a central role in the mode of action of these benzothiazoles since drug uptake and biotransformation were observed in sensitive cell lines (e.g., breast MCF-7 and MDA 468 cells) in vitro, whereas insensitive cell lines (e.g., prostate PC 3 cells) showed negligible uptake and biotransformation. N-Acyl derivs. of the arylamines have been synthesized, and in vitro studies confirm N-acetylation and oxidation as the main metabolic transformations of 2-(4-aminophenyl)benzothiazoles, with the predominant process being dictated by the nature of the 3'-substituent. The prototype amine I underwent mainly N-acetylation in vitro, while 3'-substituted analogs II and III were primarily oxidized. N-Acetylation exerts a drastic dyschemotherapeutic effect in vitro, but acetylation of halogeno congeners gave acetylamines which substantially retain selective antitumor activity. In vivo pharmacokinetic studies in rats confirmed rapid and exclusive N-acetylation of the 3'-Me analog II, but less acetylation with the 3'-chloro analog III. Distinct expression patterns of N-acetyltransferase NAT1 and NAT2 have been demonstrated in our panel of cell lines.

IT **182274-84-6P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(acylaminophenylbenzothiazole preparation and role of acetylation in antitumor activities of parent amines)

RN 182274-84-6 HCAPLUS
CN Benzamide, N-[4-(2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Akama, T	1996	39	3461	J Med Chem	HCAPLUS
Akama, T	1998	41	2056	J Med Chem	HCAPLUS
Anon	1985				HCAPLUS
Anon				Personal communicati	
Badawi, A	1995	55	5230	Cancer Res	HCAPLUS
Bamberger, E	1899	305	339	Annalen	
Bock, K	1992	13	223	Trends Pharm Sci	HCAPLUS
Boyd, M	1995	34	91	Drug Development Res	HCAPLUS
Bradshaw, T	1998	78	421	Br J Cancer	HCAPLUS
Bradshaw, T	1998	77	745	Br J Cancer	HCAPLUS
Bradshaw, T	1998	39	217	Proc Am Assoc Cancer	
Erber, S	1991	6	417	Anti-Cancer Drug Des	HCAPLUS
Feitelson, B	1952		2389	J Chem Soc	HCAPLUS
Fitton, E	1968		44	Practical Heterocycl	
Greif, H	1992	12	1304	Mol Cell Biol	HCAPLUS
Hanna, P	1996	3	195	Curr Med Chem	HCAPLUS
Reese, J	1981	17	935	In Vitro	HCAPLUS
Scheler, S	1983			DE 3307364	HCAPLUS
Shi, D	1996	39	3375	J Med Chem	HCAPLUS
Stanley, L	1996	44	1059	J Histochem Cytochem	HCAPLUS
Stephen, F	1949		2971	J Chem Soc	
Stevens, M	1994	37	1689	J Med Chem	HCAPLUS
Von Angerer, A	1984	27	1439	J Med Chem	
Von Angerer, E	1992	41	557	J Steroid Biochem Mo	HCAPLUS
Weinstein, J	1997	275	343	Science	HCAPLUS
Yates, P	1991	47	6493	Tetrahedron	HCAPLUS

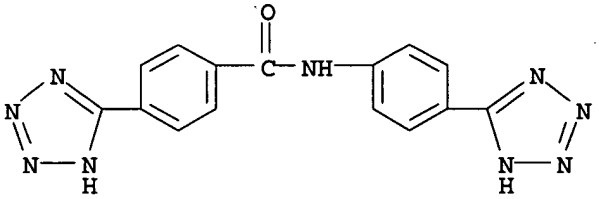
L62 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:380659 HCAPLUS
DN 129:117795
TI A potential anti-asthmatic drug, CR 2039, enhances the anticonvulsive activity of some antiepileptic drugs against pentetrazol in mice
AU Czuczwar, S. J.; Gasior, M.; Kozicka, M.; Pietrasiewicz, T.; Turski, W. A.; Kleinrok, Z.
CS Department of Pharmacology, Lublin Medical University School, Jaczewskiego 8, Lublin, 20-090, Pol.
SO European Neuropsychopharmacology (1998), 8(3), 233-238
CODEN: EURNE8; ISSN: 0924-977X
PB Elsevier Science B.V.
DT Journal
LA English
AB CR 2039 (4-(1H-tetrazol-5-yl)-N-(4-(1H-tetrazol-5- yl)phenylbenzamide)), in doses of 10, 20, and 100 mg/kg i.p., did not modify the seizure pattern observed after s.c. pentetrazol, administered at its CD97 of 90 mg/kg for the clonic phase. However, when combined with antiepileptic drugs, this phenylbenzamide derivative (20 mg/kg) converted the subprotective doses of ethosuximide (100 mg/kg) or valproate (100 mg/kg) against the clonic phase into anticonvulsive ones. The protection observed was comparable to that noted after doubling the doses of these antiepileptics. Also, a combination of valproate (100 mg/kg) with CR 2039 (10 mg/kg) resulted in a

clear-cut protection against clonic seizures induced by pentetrazol. The protective efficacy of clonazepam was not affected by the phenylbenzamide derivative up to 40 mg/kg. The potentiation of the anticonvulsive activity of ethosuximide or valproate was not accompanied by increased adverse effects, evaluated in the chimney test (motor coordination) and passive avoidance task (long-term memory). Finally, CR 2039 (20 mg/kg) did not alter the plasma levels of the antiepileptic drugs studied, which speaks against a pharmacokinetic mechanism in the observed results. In conclusion, CR 2039 seems devoid of a hazardous influence of the anti-asthmatic drug, aminophylline, on the anticonvulsive effects of conventional antiepileptics.

IT **132640-22-3**, CR 2039
RL: **ADV (Adverse effect, including toxicity)**; **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; **THU (Therapeutic use)**; **BIOL (Biological study)**; USES (Uses)
(potential anti-asthmatic drug, CR 2039, enhances the anticonvulsive activity of some antiepileptic drugs against pentetrazol in mice)

RN 132640-22-3 HCAPLUS

CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

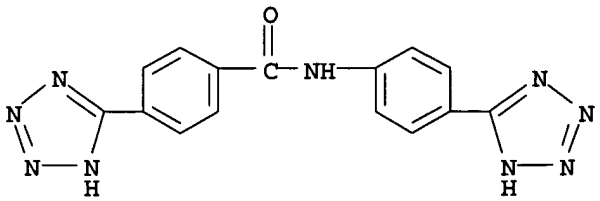


RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Ault, B	1987	426	93	Brain Res	HCAPLUS
Boast, C	1983	22	1511	Neuropharmacology	HCAPLUS
Boissier, J	1960	3	81	Med Exp (Basel)	HCAPLUS
Borowicz, K	1995	281	319	Eur J Pharmacol	HCAPLUS
Borowicz, K	1993	93	157	J Neural Transm	HCAPLUS
Chang, T	1989		671	Antiepileptic Drugs	
Chu, N	1981	22	85	Epilepsia	HCAPLUS
Czechowska, G	1993	232	59	Eur J Pharmacol	HCAPLUS
Czuczwar, S	1985	26	693	Epilepsia	HCAPLUS
Czuczwar, S	1986	27	204	Epilepsia	HCAPLUS
Czuczwar, S	1987	28	383	Epilepsia	HCAPLUS
Czuczwar, S	1996	103	1371	J Neural Transm	HCAPLUS
Czuczwar, S	1989	6	470	Neurosci Res	HCAPLUS
Litchfield, J	1949	96	99	J Pharmacol Exp Ther	HCAPLUS
Loscher, W	1988	2	145	Epilepsy Res	MEDLINE
Pietrasiewicz, T	1993	250	1	Eur J Pharmacol	HCAPLUS
Revel, L	1992	229	45	Eur J Pharmacol	HCAPLUS
Scherkl, R	1991	10	111	Epilepsy Res	HCAPLUS
Singer, E	1985	87	755	Chest	MEDLINE
Ukena, D	1990		127	Lung (Suppl)	
Venault, P	1986	321	864	Nature	HCAPLUS
Wlaz, P	1993	34	385	Epilepsia	HCAPLUS
Wlaz, P	1992	89	41	J Neural Transm	HCAPLUS
Wlaz, P	1994	49	609	Pharmacol Biochem Be	HCAPLUS
Yarnell, P	1975	25	819	Neurology	MEDLINE
Yokoyama, H	1996	5	321	CNS Drugs	HCAPLUS
Zarnowski, T	1993	32	895	Neuropharmacology	HCAPLUS

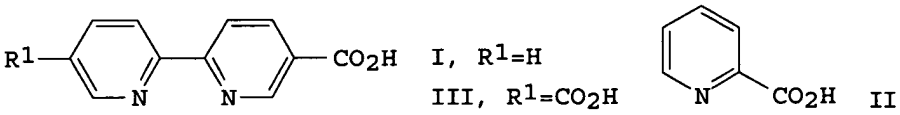
Zwillich, C |1975 |82 |784 |Ann Intern Med |MEDLINE

L62 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:147550 HCAPLUS
DN 126:181044
TI Influence of a potential anti-asthmatic drug, CR 2039, upon the anticonvulsive activity of conventional antiepileptics against maximal electroshock-induced seizures in mice
AU Czuczwar, S. J.; Gasior, M.; Kozicka, M.; Pietrasiewicz, T.; Turski, W. A.; Kleinrok, Z.
CS Department of Pharmacology, Lublin Medical University School, Lublin, Pol.
SO Journal of Neural Transmission (1996), 103(12), 1371-1379
CODEN: JNTRF3; ISSN: 0300-9564
PB Springer
DT Journal
LA English
AB CR 2039 [4-(1H-tetrazol-5-yl)-N-(4-(1H-tetrazol)-5-yl)phenyl-benzamide], in doses of 10, 50, and 100mg/kg i.p., significantly elevated the threshold for electroconvulsions, increasing the CS50 (current strength 50% in mA) values from 6.3 to 7.2, 7.5, and 7.6 mA, resp. When combined with carbamazepine, diphenylhydantoin, or valproate, CR 2039 (5 and 10mg/kg) potentiated the anticonvulsive action of these antiepileptics against maximal electroshock-induced convulsions which was reflected by significant decreases in the resp. ED50S (in mg/kg). The protective efficacy of phenobarbital was not affected by the phenylbenzamide derivative The potentiation of the anticonvulsive activity of three antiepileptics was not accompanied by increased adverse effects, evaluated in the chimney test (motor coordination) and passive avoidance task (long-term memory). Finally, CR 2039 (10mg/kg) did not alter the plasma levels of the antiepileptic drugs studied which speaks against a pharmacokinetic mechanism in the observed results. It is concluded that CR 2039 may prove a safer anti-asthmatic drug for the use in epileptic patients than aminophylline which, either acutely or chronically, considerably impaired the anticonvulsive activity of conventional antiepileptics.
IT **132640-22-3**, CR 2039
RL: **ADV (Adverse effect, including toxicity)**; **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; **THU (Therapeutic use)**; **BIOL (Biological study)**; USES (Uses)
(antiasthmatic drug CR 2039 effect on anticonvulsive activity of conventional antiepileptics)
RN 132640-22-3 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

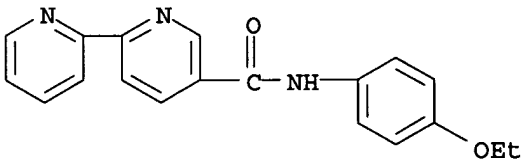


L62 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:100174 HCAPLUS
DN 120:100174
TI Novel inhibitors of prolyl 4-hydroxylase. 5. The intriguing structure-activity relationships seen with 2,2'-bipyridine and its 5,5'-dicarboxylic acid derivatives
AU Hales, Neil J.; Beattie, John F.

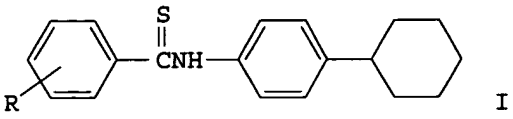
CS Infect. Res. Dep., Zeneca Pharm., Macclesfield/Cheshire, SK10 4TG, UK
SO Journal of Medicinal Chemistry (1993), 36(24), 3853-8
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



AB Members of a series of 2,2'-bipyridines have been synthesized and tested as inhibitors of prolyl hydroxylase (EC 1.14.11.2). The structure-activity relationships seen with [2,2'-bipyridine]-5-carboxylic acid (I) closely resemble those of pyridine-2-carboxylic acid (II). Accordingly, [2,2'-bipyridine]-5,5'-dicarboxylic acid (III, IC50 = 0.19 μM) is the most potent inhibitor of its type yet reported. However, 2,2'-bipyridines lacking a 5-carboxylate are poor inhibitors. These contrasting structure-activity relationships are discussed in terms of net anionic charge, iron chelation, and the availability of alternative putative binding modes at a single binding site in each catalytic subunit. This series of inhibitors may provide insight for the design of drugs effective in the inhibition of excess collagen deposition.
IT **152365-36-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and prolyl hydroxylase inhibition by, structure in relation to)
RN 152365-36-1 HCAPLUS
CN [2,2'-Bipyridine]-5-carboxamide, N-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:246931 HCAPLUS
DN 118:246931
TI Antituberculotics. Part LXIV. Antituberculotic 4'-cyclohexylthiobenzanilides: combination of Free-Wilson method in QSAR with Topliss approach
AU Waisser, Karel; Kubicova, Lenka; Odlerova, Zelmira
CS Fac. Pharm., Charles Univ., Hradec Kralove, 501 65, Czech.
SO Collection of Czechoslovak Chemical Communications (1993), 58(1), 205-12
CODEN: CCCCAK; ISSN: 0010-0765
DT Journal
LA English
GI

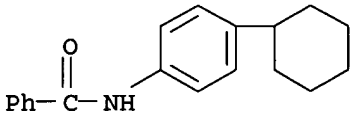


I

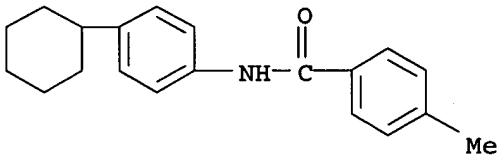
AB On the basis of a preliminary study of antimycobacterial activity of thiobenzanilides against Mycobacterium kansasii, a group of 4'-cyclohexylthiobenzanilides (I, R = H, 4-Me, 4-OMe, 3-Cl, or 4-Br) were prepared which exhibit a significant activity against the microorganism mentioned. The whole set of 35 thiobenzanilides was tested with M. tuberculosis, and on the basis of the QSAR anal. conclusions were made with regard to prognostics of structures suitable for further studies. The problem was solved by the method by Free and Wilson combined with the Topliss approach and by a Hansch type anal.

IT **147701-80-2P 147701-81-3P 147701-82-4P**
147701-83-5P 147701-84-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to thio compound)

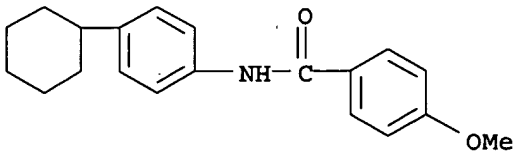
RN 147701-80-2 HCAPLUS
CN Benzamide, N-(4-cyclohexylphenyl)- (9CI) (CA INDEX NAME)



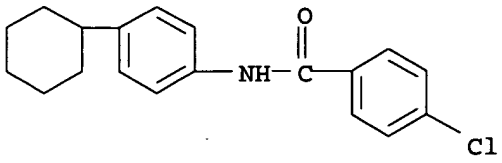
RN 147701-81-3 HCAPLUS
CN Benzamide, N-(4-cyclohexylphenyl)-4-methyl- (9CI) (CA INDEX NAME)



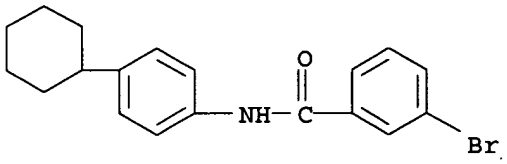
RN 147701-82-4 HCAPLUS
CN Benzamide, N-(4-cyclohexylphenyl)-4-methoxy- (9CI) (CA INDEX NAME)



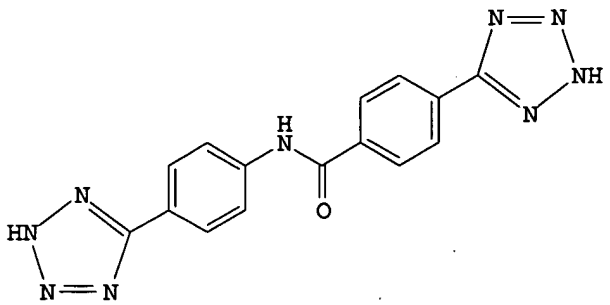
RN 147701-83-5 HCAPLUS
CN Benzamide, 4-chloro-N-(4-cyclohexylphenyl)- (9CI) (CA INDEX NAME)



RN 147701-84-6 HCAPLUS
CN Benzamide, 3-bromo-N-(4-cyclohexylphenyl)- (9CI) (CA INDEX NAME)



L62 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:73464 HCAPLUS
DN 118:73464
TI CR 2039, a new bis-(1H-tetrazol-5-yl)phenylbenzamide derivative with potential for the topical treatment of asthma
AU Revel, Laura; Colombo, Silvia; Ferrari, Flora; Folco, Giancarlo; Rovati, Lucio C.; Makovec, Francesco
CS Rotta Res. Lab., Monza, 20052, Italy
SO European Journal of Pharmacology (1992), 229(1), 45-53
CODEN: EJPHAZ; ISSN: 0014-2999
DT Journal
LA English
GI



I

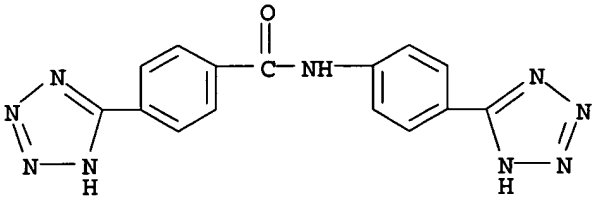
AB The pharmacol. activity of CR 2039 (I), a newly discovered antiallergic compound is described. I administered i.m. or i.v. inhibited rat passive cutaneous anaphylaxis (PCA) with an ED50 of 0.1 mg/kg and a potency about 15 times higher than that of disodium cromoglycate (DSCG). I i.m., by aerosol or as dry powder insufflation, gave dose-related significant protection against IgE-dependent bronchial anaphylaxis induced by aerosolized antigen in anesthetized guinea-pigs. In conscious guinea-pigs I given i.m. delayed dose dependently (ED50, 17 mg/kg) the onset of bronchoconstriction induced by aerosolized antigen, while DSCG was ineffective up to 100 mg/kg. The protection was accompanied by

significant inhibition of the vascular permeability provoked by antigen challenge in all airway segments except trachea. I (10-100 mg/kg i.v.) inhibited the microvascular permeability changes in a model of allergic conjunctivitis in sensitized guinea-pigs. I inhibited dose dependently guinea-pig cAMP-phosphodiesterase with an IC50 of 50 μM.

IT **132640-22-3**, CR 2039
RL: **BIOL (Biological study)**
(antiasthmatic activity of topical)

RN 132640-22-3 HCAPLUS

CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1993:16108 HCAPLUS

DN 118:16108

TI Pharmacological profile of CR 2039 (Dizolast) a new agent for the treatment of allergic diseases

AU Revel, L.; Ferrari, F.; Makovec, F.

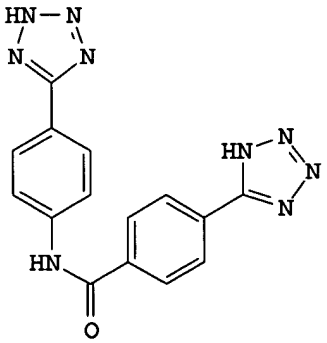
CS Rotta Res. Lab., Monza, Italy

SO NATO ASI Series, Series A: Life Sciences (1992), 229(Asthma Treat.), 273-7
CODEN: NALSDJ; ISSN: 0258-1213

DT Journal

LA English

GI



I

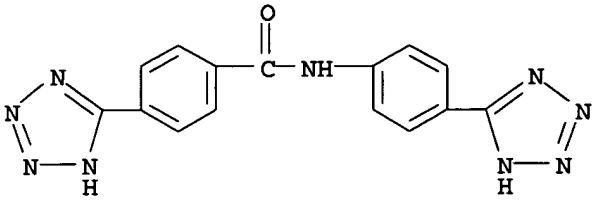
AB CR 2039 (I), a tetrazolyl-benzamide derivative, is a new entity proposed for the prevention and treatment of asthma and other allergic disorders. It seems to have a general profile of action similar to that of the standard reference sodium cromoglycate, that is the prevention of the release of histamine and other autocoids from sensitized cells responsible for allergic reactions. In this respect CR 2039 is much more potent than the reference standard

(about 10-20 times, in conventional tests) and moreover, it seems to possess addnl. pharmacol. actions. In fact, it is effective also in some IgG mediated processes and it possesses also cytoprotective and antisecretory properties, that could be useful in the clin. management of allergic diseases.

IT **132640-22-3**, CR 2039
RL: **BIOL (Biological study)**
(allergy inhibitor, pharmacol. of)

RN 132640-22-3 HCAPLUS

CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:570914 HCAPLUS

DN 117:170914

TI Antiallergic and cytoprotective activity of new N-phenylbenzamido acid derivatives.

AU Makovec, Francesco; Peris, Walter; Revel, Laura; Giovanetti, Roberto; Redaelli, Daniele; Rovati, Lucio C.

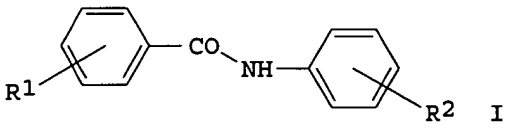
CS Rotta Res. Lab., Monza, 20052, Italy

SO Journal of Medicinal Chemistry (1992), 35(20), 3633-40
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

GI



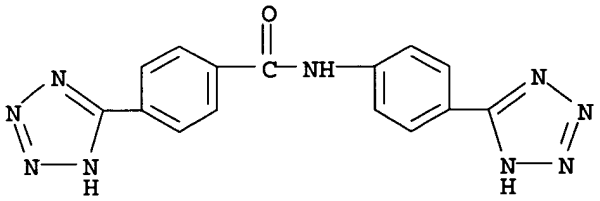
I

AB A series of new N-phenylbenzamido acid derivs. I [R1 = H, 4-Me, 4-Pr, 4-Bu, 4-HO, 3,4-(HO)2, 3,5-(HO)2, 4-MeO, 3,4-(MeO)2, 3,4,5-(MeO)3, 4-PrO, 3-Cl, 4-Cl, 2,4-Cl2, 4-CF3, 3-CN, 4-CN, 4-NO2, 4-CO2H, 4-(tetrazol-5-yl), R2 = 3,5-(CO2H)2; R1 = 4-CN, R2 = 3,4-, 2,4-, 2,3-, 2,5-(CO2H)2, 3-, 4-(tetrazol-5-yl), 3-CO2H-5-CH2OH, #-CO2H-5-CONH2; R1 = 4-(tetrazol-5-yl), R2 = H, 4-CN, 4-CONH2, 4-CO2H, 2-, 3-, 4-(tetrazol-5-yl), etc.] was synthesized and evaluated for their ability to inhibit the IgE-mediated passive cutaneous anaphylaxis in the rat (PCA), as well as for their capacity to inhibit gastric mucosal damage induced by the oral administration of absolute alc. in the rat. Some of these new derivs. exhibit potent antiallergic and cytoprotective activity, 20-80 times higher than that of the reference, disodium cromoglycate (DSCG). Structure-activity relationships are discussed. The antiallergic activity of one of the more potent compds. of this series, i.e. 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]benzamide [I; R1 = R2 = 4-(tetrazol-5-yl); CR 2039] was

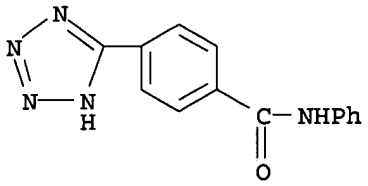
further evaluated in vivo. This compound antagonizes the bronchoconstriction induced by aerosolized ovalbumin in both anesthetized and conscious IgE sensitized guinea pigs with ID50 of 3.7 mg/animal (tracheal insufflation) and 20 mg/kg (i.m.). Further cytoprotective effects were evaluated in gastric ulcer models induced by the acute oral administration of hypertonic sodium chloride solution or by acetic acid and by the subchronic administration of glucose in fasted animals. In the models used exptl. CR 2039 is effective, whereas DSCG seems to be devoid of any protective activity. Such a potent antiallergic and mucosal protectant could provide a new potential agent in the therapy of atopic allergic diseases.

IT **132640-22-3P 143330-27-2P 143330-33-0P**
143330-36-3P 143330-37-4P 143330-46-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antiallergic and/or cytoprotective activity of)

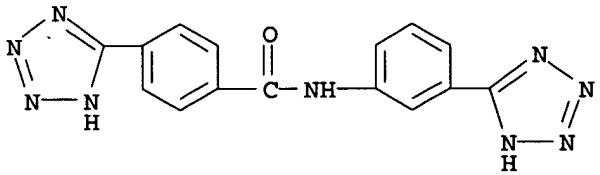
RN 132640-22-3 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



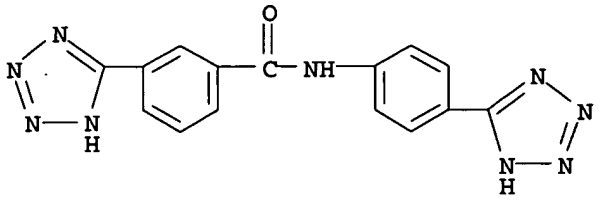
RN 143330-27-2 HCAPLUS
CN Benzamide, N-phenyl-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



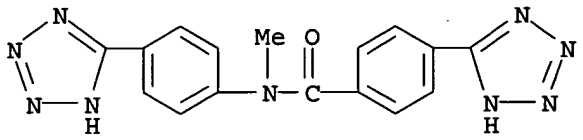
RN 143330-33-0 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[3-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



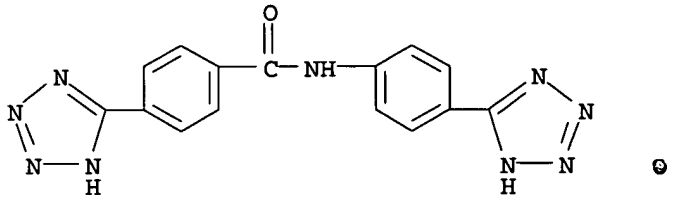
RN 143330-36-3 HCAPLUS
CN Benzamide, 3-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143330-37-4 HCAPLUS
CN Benzamide, N-methyl-4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]-(9CI) (CA INDEX NAME)

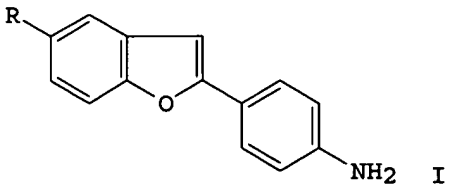


RN 143330-46-5 HCAPLUS
CN Benzamide, 4-(1H-tetrazol-5-yl)-N-[4-(1H-tetrazol-5-yl)phenyl]-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

L62 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:131849 HCAPLUS
DN 102:131849
TI Synthesis, spectroscopic studies and anti-inflammatory testing of some benzofuran derivatives
AU El-Kerdawy, M. M.; Abdelal, A. M.
CS Fac. Pharm., Univ. Mansouri, Egypt
SO Archiv for Pharmaci og Chemi, Scientific Edition (1983), 11(4), 1093-101
CODEN: AVPCCS; ISSN: 0302-248X
DT Journal
LA English
GI



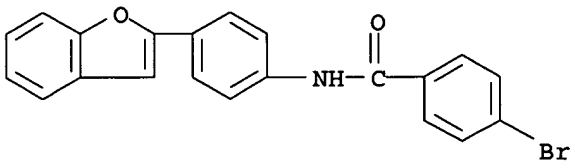
I

AB Thirty-three acyl, arylidene, sulfonyl and aroyl derivs. of 2-(p-aminophenyl)benzofurans I (R = H, Br) were prepared The IR, NMR and mass spectra of some of the compds. are discussed. The antiinflammatory testing of 5 of the compds. showed marked activity in 3 cases in the rat paw edema test.

IT **95067-64-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 95067-64-4 HCAPLUS

CN Benzamide, N-[4-(2-benzofuranyl)phenyl]-4-bromo- (9CI) (CA INDEX NAME)



L62 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1983:143332 HCAPLUS

DN 98:143332

TI Synthesis and in vitro antibacterial properties of some 4-benzanilido-1,2,3-selena- and -thiadiazole derivatives

AU Eid, A. I.; Salama, A. A.

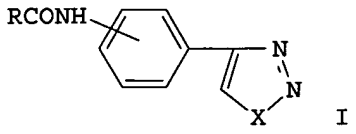
CS Fac. Pharm., Cairo Univ., Cairo, Egypt

SO Egyptian Journal of Pharmaceutical Sciences (1982), Volume Date 1979, 20(1-4), 41-51
CODEN: EJPSBZ; ISSN: 0301-5068

DT Journal

LA English

GI



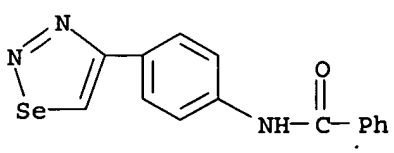
I

AB Title compds. I (R = Ph, 2-ClC6H4, 3-O2NC6H4, 3,4,5-(MeO)3C6H2; X = S, Se) were prepared by treating RCONHC6H4CMe:NNHCONH2 with SOCl2 or SeO2/HOAc. I showed no significant fungicidal or bactericidal activity.

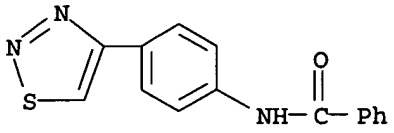
IT **84833-42-1P 84833-46-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 84833-42-1 HCAPLUS

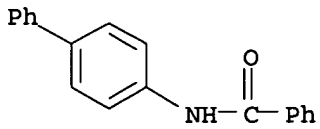
CN Benzamide, N-[4-(1,2,3-selenadiazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)



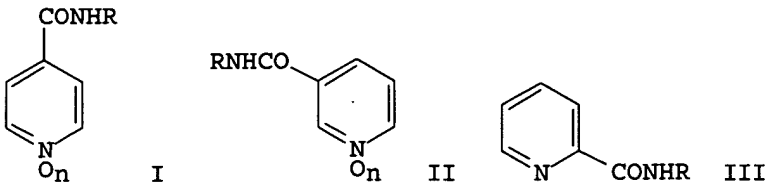
RN 84833-46-5 HCAPLUS
CN Benzamide, N-[4-(1,2,3-thiadiazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)



L62 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:543270 HCAPLUS
DN 93:143270
TI Photochemistry of sulfonamides and sulfonylureas: a contribution to the problem of light dermatoses
AU Weiss, Bernd; Duerr, Heinz; Haas, Hermann Josef
CS Fachber. 14, Univ. Saarbruecken, Saarbruecken, D-6600, Fed. Rep. Ger.
SO Angewandte Chemie (1980), 92(8), 647-9
CODEN: ANCEAD; ISSN: 0044-8249
DT Journal
LA German
OS CASREACT 93:143270
AB The title compds., drugs that may cause as a secondary effect light dermatosis or photosensitization were photolysed and their products identified. Among the compds. investigated were sulfathiazole [72-14-0], tolbutamide [64-77-7], and Invenol (carbutamid) [339-43-5].
IT **20743-57-1**
RL: **BIOL (Biological study)**
(as photolysis product of sulfonamides)
RN 20743-57-1 HCAPLUS
CN Benzamide, N-[1,1'-biphenyl]-4-yl- (9CI) (CA INDEX NAME)



L62 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1977:89560 HCAPLUS
DN 86:89560
TI Synthesis and biological activity of adamantane derivatives. VI. Antiinflammatory action of adamantylamides of pyridinecarboxylic acids
AU Danilenko, G. I.; Mokhort, N. A.; Trinus, F. P.
CS Inst. Org. Khim., Kiev, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1976), 10(8), 51-3
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 86:89560
GI

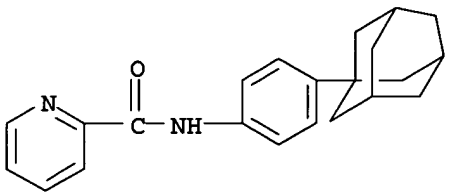


AB Ad = 1-adamantyl in this abstract Pyridinecarboxamides I (n = 0, 1; R = Ad, p-AdC6H4, AdCHMe, AdCH2, AdCH2CH2), II (n = 0, 1), and III were prepared in 29.8-73.0% yield by reaction of RNH2 with the resp. pyridinecarbonyl chlorides. The toxicities of I, II, and III were 150-1500 mg/kg; I (n = 1) and II (n = 1) were more toxic than I (n = 0) and II (n = 0). The most active analgesics were I, II, and III, where R = p-AdC6H4. The analgesic activity increases in going from the isonicotinic to picolinic acids. I (n = 1) and II (n = 1) had lower analgesic activity than I (n = 0) and II (n = 0). III (R = AdCH2CH2) had the maximum antipyretic activity.

IT **61876-33-3P**
RL: **BAC (Biological activity or effector, except adverse)**; **BSU (Biological study, unclassified)**; SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(preparation and antiinflammatory activity of)

RN 61876-33-3 HCAPLUS

CN 2-Pyridinecarboxamide, N-(4-tricyclo[3.3.1.13,7]dec-1-ylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L62 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1970:474898 HCAPLUS

DN 73:74898

TI Structure-activity relations of N-acylarylhydroxylamines in the rat

AU Gutmann, Helmut R.; Leaf, Donn S.; Yost, Yul; Rydell, Robert E.; Chen, Chaur Ching

CS Lab. for Cancer Res., Veterans Admin. Hosp., Minneapolis, MN, USA

SO Cancer Research (1970), 30(5), 1485-98
CODEN: CNREA8; ISSN: 0008-5472

DT Journal

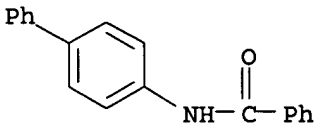
LA English

AB Several noncarcinogenic or weakly active arylamides have been converted by synthetic N-hydroxylation to N-acylarylhydroxylamines which were highly oncogenic for the rat. The carcinogens produced in this manner included N-hydroxy-3-fluorenylacetamide, N-hydroxy-2-fluorenylbenzenesulfonamide, and N-hydroxy-4-biphenylylbenzamide. The findings support the view that metabolic N-hydroxylation is obligatory for the activation of arylamides. Structural features which appear to determine the oncogenicity of N-acylarylhydroxylamines are the size of the aryl moiety, which must

exceed a limiting size, and the position of the N (and therefore of the acyl group) relative to the aromatic system. The oncogenicity of N-hydroxy-2-fluorenylbenzamide for local sites has been confirmed by gastric intubation. Under these conditions, this carcinogenic hydroxamic acid induced predominantly neoplastic lesions of the forestomach of the rat. The oncogenic potential of N-acetoxy-2-fluorenylbenzamide, an analog of the carcinogen, N-acetoxy-2-fluorenylacetamide, has been assessed by the oral route in a preliminary test of the role of the esterification of N-disubstituted hydroxylamines in carcinogenesis.

IT **20743-57-1**
RL: **BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)**
(carcinogenic activity of)

RN 20743-57-1 HCAPLUS
CN Benzamide, N-[1,1'-biphenyl]-4-yl- (9CI) (CA INDEX NAME)



=> => d his

(FILE 'HOME' ENTERED AT 15:54:03 ON 04 JUN 2004)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 15:54:11 ON 04 JUN 2004

L1		STR
L2	0	S L1 CSS SAM
L3		SCR 1840 AND 1199 AND 1868
L4		SCR 2043 OR 2039 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 205
L5	0	S L1 AND L3 NOT L4 CSS SAM
L6	40	S L1 AND L3 NOT L4 SAM
L7	15593	S L1 AND L3 NOT L4 FUL

FILE 'HCAPLUS' ENTERED AT 16:06:41 ON 04 JUN 2004

		E LEE C/AU
L8	418	S E3
		E LEE C H/AU
L9	855	S E3
		E LEE CHIH/AU
L10	37	S E14
		E KOENIG J/AU
L11	125	S E3,E17
		E KOENIG JOHN/AU
L12	18	S E3,E7
		E KONIG J/AU
L13	163	S E3
		E BROWN B/AU
L14	110	S E3,E27-E29
		E BROWN BRIAN/AU
L15	23	S E3,E16,E17
		E ABBOT/PA,CS
L16	143	S E3,E4
		E ABBOTT/PA,CS
L17	8398	S E3,E4
L18	1905	S L7

```
L19          14 S L8-L17 AND L18
L20         857 S VANILLOID(L)RECEPTOR
L21           3 S VANILLOID(L)RECEPTOR(L)S1
L22         526 S VANILLOID(L)RECEPTOR(L)1
L23          82 S VANILLOID(L)RECEPTOR(L)SUBTYPE(L)1
L24         617 S VR1
L25           0 S L18 AND L20-L24
L26           9 S L8-L17 AND L20-L24
                E CAPSAICIN/CT
L27         202 S E5
                E E4+ALL
L28         772 S E14,E13
                E CAPSAICIN/CT
L29         716 S E4-E6
L30           9 S L8-L17 AND L27-L29
L31           0 S L18 AND L27-L29
L32          10 S L26,L30
                SEL RN

     FILE 'REGISTRY' ENTERED AT 16:16:29 ON 04 JUN 2004

     FILE 'HCAPLUS' ENTERED AT 16:18:53 ON 04 JUN 2004

     FILE 'REGISTRY' ENTERED AT 16:19:35 ON 04 JUN 2004
L33             STR L1
L34          35 S L33 CSS SAM SUB=L7
L35         656 S L33 CSS FUL SUB=L7
                SAV L35 ZINNA687/A
L36             STR L33
L37         521 S  L36 CSS FUL SUB=L35
                SAV L37 ZINNA687A/A
L38             STR L36
L39          10 S L38 CSS FUL SUB=L35
                SAV L39 ZINNA687B/A
L40         531 S L37,L39
L41          74 S L40 AND 46.150.18/RID AND NC5/ES
L42          40 S L41 AND 46.156.30/RID
L43          16 S L40 AND DIMETHYLETHYL
L44         485 S L7 AND (46.150.18 AND 46.156.30)/RID AND 3/NR
L45          24 S L44 AND DIMETHYLETHYL
L46           0 S L7 AND C16H18N2O
                SAV L40 ZINNA687C/A
L47         524 S L40 AND 1/NC
L48           7 S L40 NOT L47
L49           6 S L48 NOT IUM
L50         530 S L47,L49

     FILE 'HCAOLD' ENTERED AT 16:27:41 ON 04 JUN 2004
L51           9 S L50

     FILE 'HCAPLUS' ENTERED AT 16:28:05 ON 04 JUN 2004
L52          98 S L50
L53           1 S L52 AND L8-L17
L54           0 S L52 AND L20-L24,L27-L29
L55          98 S L52 AND (PD<=20031016 OR PRD<=20031016 OR AD<=20031016)
L56          33 S L50 (L) BIOL+NT/RL
L57          44 S L50 AND (PHARMACEUT? OR PHARMACOL? OR IMMUN? OR PATHOL?)/SC,S
L58          46 S L56,L57
L59          42 S L55 AND P/DT
L60          31 S L58 AND L59
L61          32 S L53,L60
L62          15 S L58 NOT L61
L63          40 S L55 NOT L58-L62
```

```
     FILE 'REGISTRY' ENTERED AT 16:32:08 ON 04 JUN 2004

     FILE 'HCAPLUS' ENTERED AT 16:32:19 ON 04 JUN 2004
               SET COST ON
               SET COST OFF

     FILE 'BEILSTEIN' ENTERED AT 16:35:45 ON 04 JUN 2004
L64              STR
L65           18 S L64 SAM
L66         1535 S L64 FUL
L67              STR L64
L68            9 S L67 FUL SUB=L66
L69              STR L67
L70            0 S L69 FUL SUB=L68
L71            0 S L67 CSS FUL SUB=L68

     FILE 'REGISTRY' ENTERED AT 16:42:32 ON 04 JUN 2004
L72            2 S L7 AND C21H22N2O

=>
```